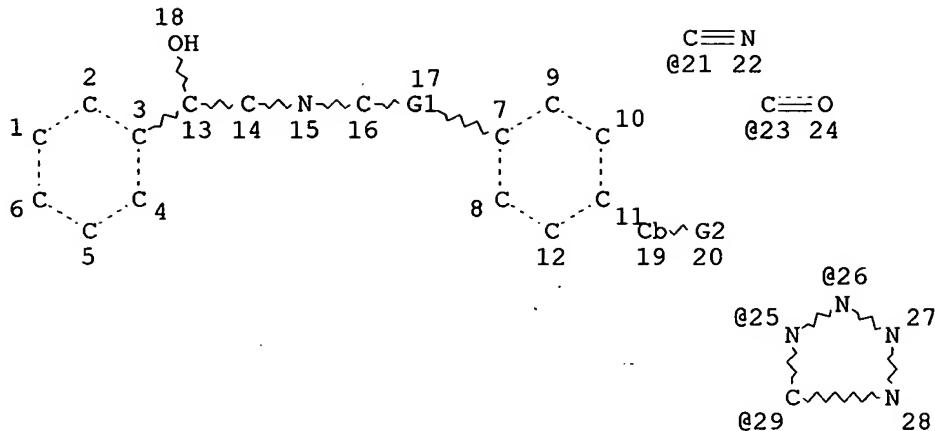


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L1 STR



REP G1=(1-3) CH2  
VAR G2=21/23/29/25/26  
NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RSPEC 25 10 3  
NUMBER OF NODES IS 29

STEREO ATTRIBUTES: NONE

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FULL SEARCH INITIATED 10:23:12 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 147917 TO ITERATE

100.0% PROCESSED 147917 ITERATIONS 919 ANSWERS  
SEARCH TIME: 00.00.05

L3 919 SEA SSS FUL L1

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	159.62	159.83

FILE 'CAPLUS' ENTERED AT 10:23:21 ON 21 DEC-2004  
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FILE COVERS 1907 - 21 Dec 2004 VOL 141 ISS 26  
FILE LAST UPDATED: 20 Dec 2004 (20041220/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L4 36 L3

=> s 14 and py<=2002  
22561003 PY<=2002  
L5 26 L4 AND PY<=2002

=> d bib abs hitstr 1-26

L5 ANSWER 1 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2002:906136 CAPLUS

DN 138:4422

TI Aromatic and heteroaromatic amino alcohol derivatives useful as  $\beta_3$  adrenergic agonists, for treatment of pollakiuria and urinary incontinence, and their preparation.

IN Sakurai, Minoru; Washizuka, Kenichi; Hamashima, Hitoshi; Tomishima, Yasuyo; Imanishi, Masashi; Kayakiri, Hiroshi; Taniguchi, Kiyoshi; Takamura, Fujiko

PA Fujisawa Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 256 pp.

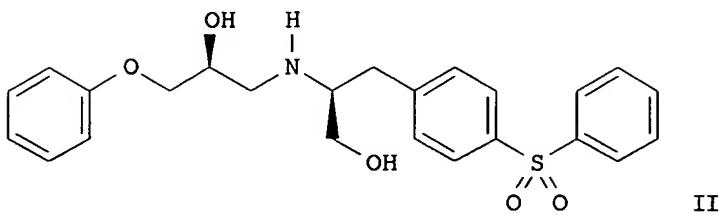
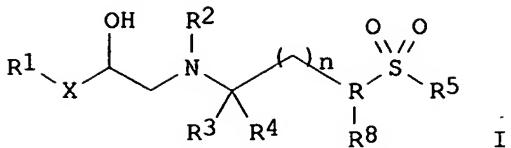
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

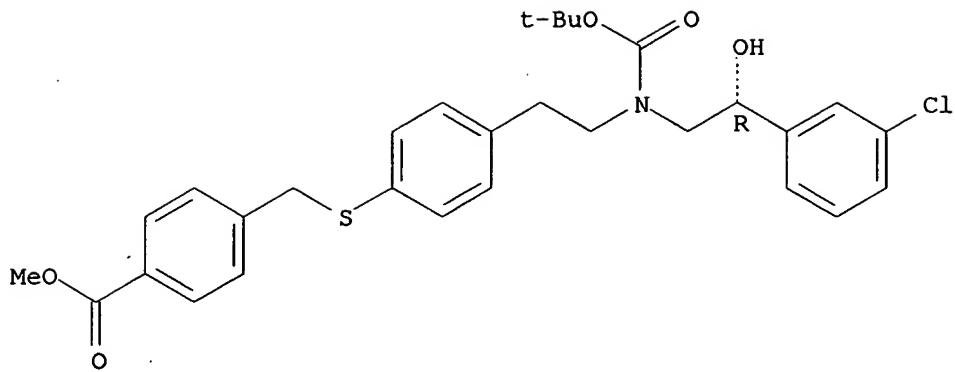
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PI	WO 2002094770	A2	20021128	WO 2002-JP4865	20020520 <--
	WO 2002094770	A3	20030306		
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	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	EP 1389185	A2	20040218	EP 2002-728093	20020520
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
	JP 2004534772	T2	20041118	JP 2002-591443	20020520
	US 2004138462	A1	20040715	US 2003-477751	20031124
PRAI	AU 2001-5232	A	20010524		
	AU 2001-9780	A	20011228		
	AU 2002-799	A	20020228		
	WO 2002-JP4865	W	20020520		
OS	MARPAT 138:4422				
GI					



**AB** The invention relates to compds. I [wherein R1 is Ph, pyridyl, indolyl, or carbazolyl, each of which may be substituted with one or two substituent(s); R2 is hydrogen, an amino protective group, etc.; R3 and R4 are each independently hydrogen, lower alkyl or hydroxy(lower)alkyl; R is a benzene or pyridine nucleus; R5 is aryl, ar(lower)alkyl, heterocyclic, or alkyl, each of which may be substituted with one, two, or three substituent(s); R8 is hydrogen or halogen; X is a single bond or OCH2; and n is 0, 1, or 2] or salts thereof. I and their pharmaceutically acceptable salts are  $\beta_3$  adrenergic receptor agonists, useful for the prophylactic and/or therapeutic treatment of pollakiuria or urinary incontinence. Approx. 700 compds. were prepared as invention compds. and/or intermediates. For instance, tert-Bu [(S)-2-hydroxy-1-(4-hydroxybenzyl)ethyl]carbamate was protected with Me2C(OMe) as the oxazolidine, then converted to the aryl triflate, coupled with PhSH, oxidized to the sulfone, and deprotected to give (S)-2-amino-3-[4-(phenylsulfonyl)phenyl]-1-propanol as the hydrochloride. This compound underwent reductive N-benzylation with benzaldehyde, coupling with (S)-2-(phenoxyethyl)oxirane, and hydrogenolytic debenzylation, to give title compound II. When administered intraduodenally to anesthetized beagle dogs at 0.32 mg/kg, II gave a 30% inhibition of carbachol-induced (1.8  $\mu$ g/kg) increase in intravesical pressure (IVP).

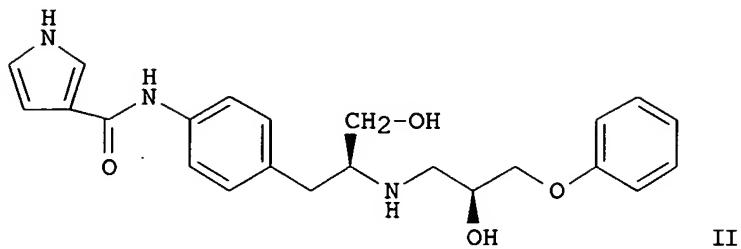
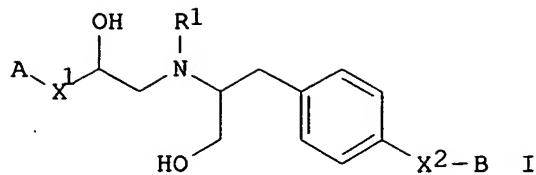
**IT**

- 477257-57-1P, tert-Butyl N-[(R)-2-(3-chlorophenyl)-2-hydroxyethyl]-N-[2-[4-[(4-cyanophenyl)sulfonyl]phenyl]ethyl]carbamate
- 477258-30-3P, Ethyl 3-[[4-[2-[N-benzyl-N-[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]ethyl]phenyl]sulfonyl]benzoate 477258-32-5P
- , Ethyl 3-[[4-[2-[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]ethyl]phenyl]sulfonyl]benzoate 477258-33-6P, Ethyl 3-[[4-[2-[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]ethyl]phenyl]sulfonyl]benzoate hydrochloride 477258-35-8P, Ethyl 3-[[4-[2-[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]ethyl]phenyl]sulfonyl]benzoate 477258-38-1P, 3-[[4-2-[N-(tert-butoxycarbonyl)-N-[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]ethyl]phenyl]sulfonyl]benzoate 477258-45-0P, Ethyl 4-[[4-2-[(3-chlorophenyl)-2-hydroxyethyl]amino]ethyl]phenyl]sulfonyl]benzoate hydrochloride 477258-46-1P, 4-[[4-2-[(3-chlorophenyl)-2-hydroxyethyl]amino]ethyl]phenyl]sulfonyl]benzoic acid 477260-79-0P, Ethyl 4-[[4-2-[(3-chlorophenyl)-2-hydroxyethyl]amino]ethyl]phenyl]sulfonyl]benzoate 477260-88-1P, Ethyl 4-[[4-2-[(3-chlorophenyl)-2-hydroxyethyl]amino]ethyl]phenyl]sulfonyl]-2-fluorobenzoate 477260-91-6P, Ethyl 4-[[4-2-[(3-chlorophenyl)-2-hydroxyethyl]amino]ethyl]phenyl]sulfonyl]-2-fluorobenzoate 477261-84-0P, tert-Butyl N-[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]-N-[2-[4-[[3-[(methoxy(methyl)amino]carbonyl]phenyl]sulfonyl]phenyl]ethyl]carbamate 477261-85-1P, tert-Butyl



L5 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2002:240716 CAPLUS  
 DN 136:279196  
 TI Preparation and use of amino alcohol derivatives for treatment of urinary incontinence  
 IN Sakurai, Minoru; Washizuka, Kenichi; Hamashima, Hitoshi; Tomishima, Yasuyo; Imanishi, Masashi; Nakajima, Yutaka; Otake, Hiroaki; Korada, Satoru; Murata, Masayoshi; Kayakiri, Hiroshi; Fujii, Naoaki; Taniguchi, Kiyoshi  
 PA Fujisawa Pharmaceutical Co., Ltd., Japan  
 SO PCT Int. Appl., 112 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002024635	A2	20020328	WO 2001-JP8155	20010919 <--
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2001090246	A5	20020402	AU 2001-90246	20010919 <--
	JP 2004509162	T2	20040325	JP 2002-528649	20010919
	US 2004037022	A1	20040226	US 2003-380627	20030321
	US 6826033	B2	20041130		
PRAI	AU 2000-340	A	20000925		
	WO 2001-JP8155	W	20010919		
OS	MARPAT 136:279196				
GI					



**AB** Title compds. I [ $\text{X}^1$  = bond,  $\text{OCH}_2$ ;  $\text{X}^2$  =  $(\text{NR}^2\text{CO})_n$ ,  $\text{NHCOY}^1$ ;  $\text{R}^2$  = H, alkyl; n = 1-2;  $\text{Y}^1$  =  $\text{NR}^3$ ;  $\text{R}^3$  = H, alkyl, etc.;  $\text{R}^1$  = H, amino protective group; A = Ph, indolyl, carbazolyl; B = H, halo, alkyl, alkoxy carbonyl, cycloalkyl, heterocyclic, naphthyl, 1,2,3,4-tetrahydronaphthyl, benzyl, phenyl] were prepared. For instance, (2S)-2-(phenoxy methyl)oxirane was reacted with (2S)-2-amino-3-(4-nitrophenyl)-1-propanol to give (2S)-3-(4-nitrophenyl)-2-[(2S)-2-hydroxy-3-phenoxypropyl]amino]-1-propanol. This intermediate was protected as the N-Boc derivative which was then reduced (MeOHaq, 10% Pd-C, H2-1 atm) to give the corresponding aminophenyl derivative. Carbodiimide coupling of this amine with 3-carboxypyrrole followed by deprotection provided II. II showed  $2.6 \pm 0.05$  mm Hg increase in intravesical pressure (compared to  $7.0 \pm 1.0$  mm Hg control) induced by carbachol in anesthetized dog. I are useful for the prophylactic and/or the therapeutic treatment of pollakiures or urinary incontinence.

**IT** 406166-75-4P, Methyl 4-[[[4-[(2S)-2-[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-3-hydroxypropyl]phenyl]amino]carbonyl]benzoate hydrochloride

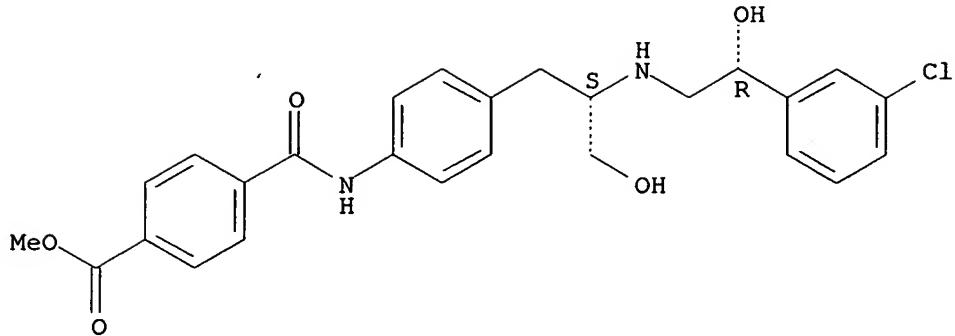
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug; preparation and use of amino alc. derivs. for treatment of urinary incontinence)

**RN** 406166-75-4 CAPLUS

**CN** Benzoic acid, 4-[[[4-[(2S)-2-[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-3-hydroxypropyl]phenyl]amino]carbonyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

IT   **406166-73-2P**, Methyl 4-[[[4-[(2S)-2-[N-(tert-butoxycarbonyl)-N-[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-3-hydroxypropyl]phenyl]amino]carbonyl]benzoate **406166-78-7P**,  
 Sodium 4-[[[4-[(2S)-2-[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-3-hydroxypropyl]phenyl]amino]carbonyl]benzoate **406166-82-3P**,  
 N-[4-[(2S)-2-[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-3-hydroxypropyl]phenyl]benzamide **406167-73-5P** **406167-85-9P**  
**406167-91-7P** **406167-93-9P** **406167-95-1P**  
**406168-05-6P** **406168-11-4P** **406168-21-6P**  
**406168-23-8P** **406168-25-0P** **406168-84-1P**  
**406168-90-9P** **406168-92-1P** **406169-00-4P**  
**406169-08-2P** **406169-10-6P** **406169-12-8P**

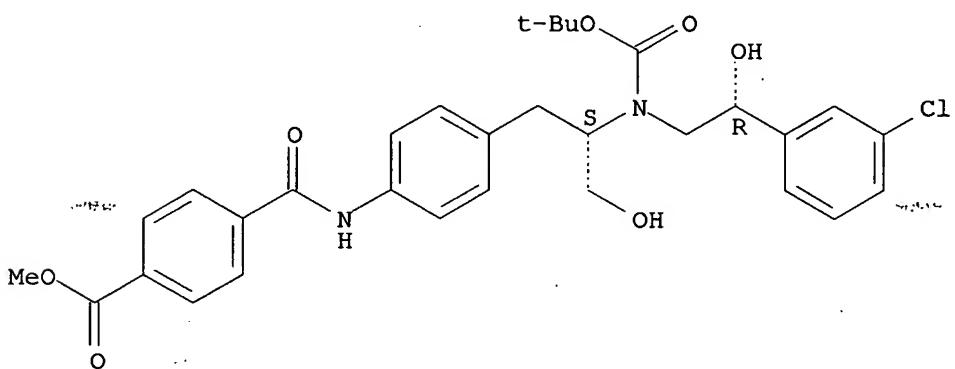
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug; preparation and use of amino alc. derivs. for treatment of urinary incontinence)

RN   406166-73-2 CAPLUS

CN   Benzoic acid, 4-[[[4-[(2S)-2-[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl][(1,1-dimethylethoxy)carbonyl]amino]-3-hydroxypropyl]phenyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

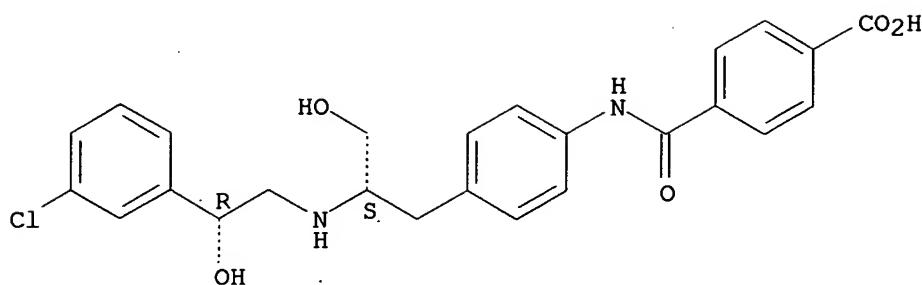
Absolute stereochemistry.



RN   406166-78-7 CAPLUS

CN   Benzoic acid, 4-[[[4-[(2S)-2-[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-3-hydroxypropyl]phenyl]amino]carbonyl]-, monosodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

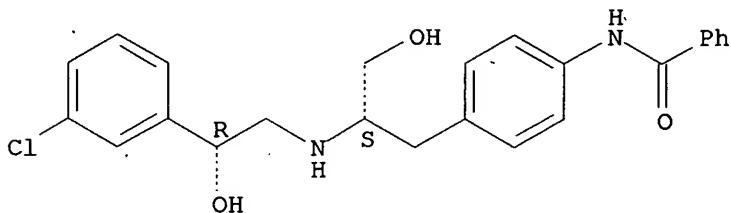


● Na

RN 406166-82-3 CAPLUS

CN Benzamide, N-[4-[(2S)-2-[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-3-hydroxypropyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 406167-73-5 CAPLUS

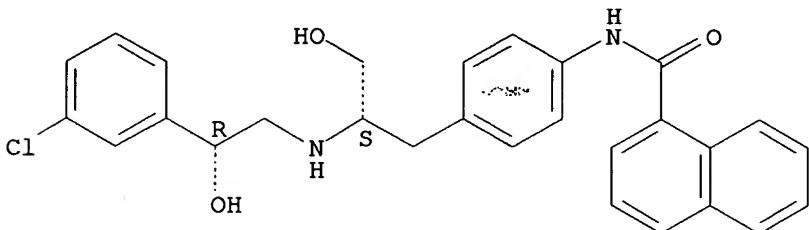
CN 1-Naphthalenecarboxamide, N-[4-[(2S)-2-[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-3-hydroxypropyl]phenyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 406167-72-4

CMF C28 H27 Cl N2 O3

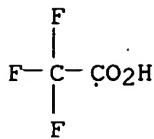
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 406167-85-9 CAPLUS

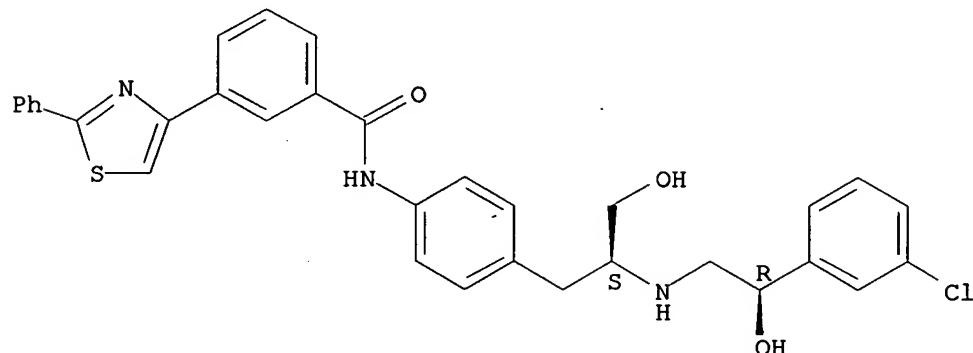
CN Benzamide, N-[4-[(2S)-2-[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-3-hydroxypropyl]phenyl]-3-(2-phenyl-4-thiazolyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 406167-84-8

CMF C33 H30 Cl N3 O3 S

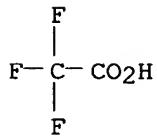
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 406167-91-7 CAPLUS

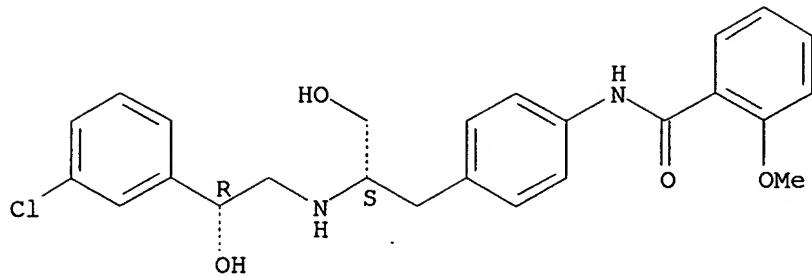
CN Benzamide, N-[4-[(2S)-2-[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-3-hydroxypropyl]phenyl]-2-methoxy-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 406167-90-6

CMF C25 H27 Cl N2 O4

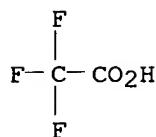
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 406167-93-9 CAPLUS

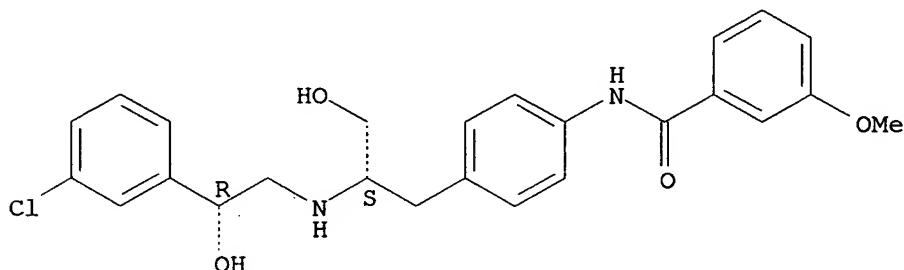
CN Benzamide, N-[4-[(2S)-2-[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-3-hydroxypropyl]phenyl]-3-methoxy-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 406167-92-8

CMF C25 H27 Cl N2 O4

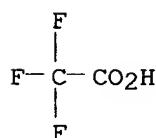
Absolute stereochemistry.

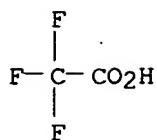


CM 2

CRN 76-05-1

CMF C2 H F3 O2





RN 406169-12-8 CAPLUS

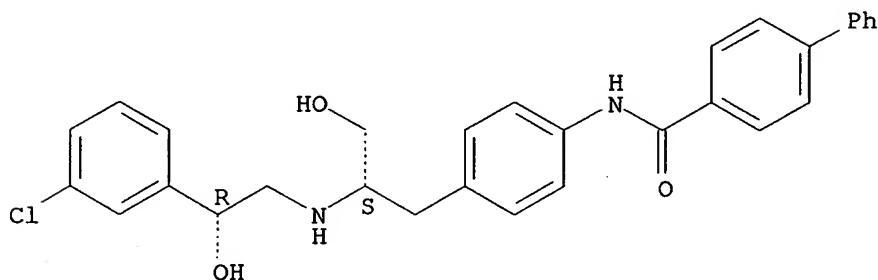
CN [1,1'-Biphenyl]-4-carboxamide, N-[4-[(2S)-2-[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-3-hydroxypropyl]phenyl-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 406169-11-7

CMF C30 H29 Cl N2 O3

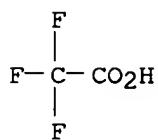
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



L5 ANSWER 3 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:123617 CAPLUS

DN 136:183819

TI Preparation of (imidazolylalkyl)biphenylcarbonitriles and analogs as farnesyltransferase inhibitors

IN Wang, Wei-Bo; Curtin, Michael L.; Fakhoury, Stephen A.; Gwaltney, Stephen L.; Hasvold, Lisa A.; Hutchins, Charles W.; Li, Qun; Lin, Nan-Horng; Nelson, Lissa Taka Jennings; O'Connor, Steve; Sham, Hing L.; Sullivan, Gerard M.; Wang, Gary T.; Wang, Xilu

PA USA

SO U.S. Pat. Appl. Publ., 189 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

PATENT NO.

KIND DATE

APPLICATION NO.

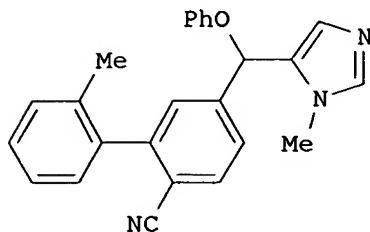
DATE

PI US 2002019527  
PRAI US 2000-200165P  
OS MARPAT 136:183819  
GI

A1 20020214  
P 20000427

US 2001-842391

20010425 <--



II

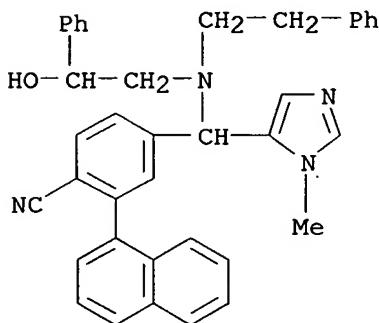
AB Title compds. (I) were prepared Thus, 2-MeC<sub>6</sub>H<sub>4</sub>C<sub>6</sub>H<sub>3</sub>(CN)(CHO)-2,5 was condensed with 1-methyl-2-triethylsilyl-1H-imidazole (preparation each given) and the product O-arylated to give title compound II. Data for biol. activity of I were given.

IT 371764-67-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of (imidazolylalkyl)biphenylcarbonitriles and analogs as farnesyltransferase inhibitors)

RN 371764-67-9 CAPLUS

CN Benzonitrile, 4-[[[(2-hydroxy-2-phenylethyl)(2-phenylethyl)amino](1-methyl-1H-imidazol-5-yl)methyl]-2-(1-naphthalenyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 4 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:72044 CAPLUS

DN 136:134675

TI Preparation of heterocyclic amino alcohol beta-3 adrenergic receptor agonists

IN Ashwell, Mark Anthony; Solvibile, William Ronald; Quagliato, Dominick Anthony; Molinari, Albert John

PA American Home Products Corporation, USA

SO PCT Int. Appl., 208 pp.  
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002006229	A2	20020124	WO 2001-US22327	20010716 <--

WO 2002006229 A3 20020725  
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 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,  
 RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ,  
 VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
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 US 6451814 B2 20020917  
 US 2003018045 A1 20030123 US 2002-189312 20020702  
 US 6605618 B2 20030812  
 PRAI US 2000-218628P P 20000717  
 US 2001-903841 A1 20010712  
 AB This invention provides A-U-CH(OH)CH<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>VC<sub>6</sub>H<sub>4</sub>WZ-p (1; Z = (1-Y-X-substituted piperidin-4-yl)) or a pharmaceutically acceptable salt thereof, which are useful in treating or inhibiting metabolic disorders related to insulin resistance or hyperglycemia (typically associated with obesity or glucose intolerance), atherosclerosis, gastrointestinal disorders, neurogenic inflammation, glaucoma, ocular hypertension and frequent urination; and are particularly useful in the treatment or inhibition of type II diabetes.  $\beta$ 3-Adrenergic receptor EC50 and maximal response (IA; % activity compound/% activity isoproterenol) values are reported for .apprx.100 example compds., e.g. 0.032  $\mu$ M and 1.04 for 4-[4-[2-[(2S)-2-hydroxy-3-(4-hydroxyphenoxy)propylamino]ethyl]phenylamino]piperidine-1-carboxylic acid 2,6-difluorobenzylamide. In 1, A is (a) a 5-6 membered heterocyclic ring having 1-4 heteroatoms selected from O, N, and S, substituted with (R1)m; (b) a Ph ring substituted with (R1)m; (c) a naphthyl ring substituted with (R1)m; or (d) a Ph fused heterocycle selected from (R1)m-substituted 1,3-dihydro-2-oxo-2H-benzimidazol-4-yl, 1,3-benzodioxol-5-yl, 1,2,3,4-tetrahydro-2-oxoquinolin-5-yl, 1,2,3,4-tetrahydro-1-naphthylideneamino. U is -OCH<sub>2</sub>- or a bond; V is O or a bond; W is O, S(O)a, NR2, NC(O)R2; X = SO<sub>2</sub>, C(O), -(CH<sub>2</sub>)b, a bond, Ar; Y is -NR3R4, Het, Ar, alkyl of 1-8 C atoms, O(CH<sub>2</sub>)dR5. R1 is alkyl of 1-8 C atoms, -OR6, halogen, cyano, cycloalkyl of 3-8 C atoms, trifluoromethyl, CO<sub>2</sub>R6, -NR6R7, -C(O)NR6R7, -NHC(O)R6, -NR6C(O)NR8R8, -NHSO<sub>2</sub>R8, -S(O)aR6, -NO<sub>2</sub>, -O(CH<sub>2</sub>)eCO<sub>2</sub>R7, -OC(O)NR6R7, -O(CH<sub>2</sub>)fOR6, or a 5-6 membered heterocyclic ring containing 1 to 4 heteroatoms selected from O, S, and N. R2 is H, alkyl of 1-8 C atoms, or arylalkyl having 1-8 C atoms in the alkyl moiety; R3 and R4 are each, independently, H, alkyl of 1-8 C atoms, cycloalkyl of 3-8 C atoms, arylalkyl having 1-8 C atoms in the alkyl group, -(CH<sub>2</sub>)gR9, -(CH<sub>2</sub>)hCOR9, -(CH<sub>2</sub>)jCR10R11(CH<sub>2</sub>)jR9, or -(CH<sub>2</sub>)kCONR12R13; or R3 and R4 may be taken together together with the N to which they are attached to form a 3-7 membered saturated heterocycle, which may optionally contain 1-2 addnl. heteroatoms selected from O and S, and said heterocycle may optionally be substituted with R14. R5 is H; alkyl of 1-8 C atoms optionally substituted by 1-3 substituents selected from hydroxy, halogen and aryl; cycloalkyl of 1-8 C atoms; Ar or Het; R6, R7, and R8 are each, independently, H, or alkyl of 1-8 C atoms, or aryl of 6-10 C atoms, cycloalkyl of 3-8 C atoms, or arylalkyl having 1-8 C atoms in the alkyl moiety; R9 is H; alkyl optionally substituted with 1-3 substituents selected from hydroxy, halogen, and aryl; cycloalkyl of 3-8 C atoms; Ar, or Het; R10 and R11 are each, independently, H, alkyl, or aryl optionally substituted with alkyl of 1-8 C atoms or halogen; or R10 and R11 are taken together to form a spiro fused cycloalkyl ring of 3-8 C atoms. R12 and R13 are each, independently, H, alkyl of 1-8 C atoms, aryl optionally substituted with alkyl of 1-8 C atoms or halogen; or R12 and R13 are taken together with the N to which they are attached to form a 3-7 membered saturated heterocycle, which may optionally contain 1-2 addnl. heteroatoms selected from O and S, and said heterocycle may optionally be

substituted with R14; R14 is CO<sub>2</sub>R15 or aryl optionally substituted with a 1-3 substituents selected from -OR15 and cycloalkyloxy of 3-8 C atoms; R15 is alkyl of 1-8 C atoms or arylalkyl having 1-8 C atoms in the alkyl moiety. Ar is an aromatic ring system containing 1-2 carbocyclic aromatic rings

having 6-10 C atoms optionally mono, di, or trisubstituted with R16; Het is (a) a 5-6 membered heterocyclic ring having 1-4 heteroatoms selected from O, S, and N which may be optionally mono- or disubstituted with R16; or (b) a heterocyclic ring system optionally mono- or disubstituted by R16 containing a 5-6 membered heterocyclic ring fused to one or two carbocyclic or heterocyclic rings such that the heterocyclic ring system contains 1-4 heteroatoms selected from O, S, and N; R16 is aryl, halogen, alkyl of 1-8 C atoms, -OR17, cycloalkyl of 3-8 C atoms, trifluoromethyl, cyano, -CO<sub>2</sub>R17, -CONR17R18, -SO<sub>2</sub>NR17R18, -NR17OR18, -NR19CONR17R18, -NR17COR18, -NO<sub>2</sub>, -O(CH<sub>2</sub>)pCO<sub>2</sub>R17, -OCONR17R18, -S(O)<sub>n</sub>R17, -O(CH<sub>2</sub>)qOR17, or a 5-6 membered heterocyclic ring containing 1-4 heteroatoms selected from O, S and N. R17, R18, and R19 are each, independently, H, alkyl of 1-8 C atoms, arylalkyl having 1-8 C atoms in the alkyl moiety, or aryl optionally mono, di, or trisubstituted with halogen, cyano, nitro, hydroxy, alkyl of 1-8 C atoms, or alkoxy of 1-8 C atoms; or when R17 and R18 are contained on a common N, R17 and R18 may be taken together with the N to which they are attached to form a 3-7 membered saturated heterocycle, which may optionally contain 1-2 addnl. heteroatoms selected from O and S. A = 0-2; b = 1-6; d = 0-3; e = 1-6; f = 1-6; g = 0-6; h = 0-6; j = 0-6; k = 0-6; m = 0-2; p = 1-6; q = 1-6. Methods of preparation are claimed, comprising (a) reacting AOCH<sub>2</sub>-substituted oxirane or a protected form thereof in which a reactive substituent group is protected, with H<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>VC<sub>6</sub>H<sub>4</sub>WZ-p or a protected form thereof in which a reactive substituent group is protected; and if required removing any protecting group to give 1 (U = -OCH<sub>2</sub>-). (b) reacting A-substituted oxirane or a protected form thereof in which any reactive substituent group is protected, with H<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>VC<sub>6</sub>H<sub>4</sub>WZ-p or a protected form thereof in which a reactive substituent group is protected; and if required removing any protecting group to give 1 wherein U represents a bond;. (c) reacting ACH(OPr)CH<sub>2</sub>I, wherein Pr is a protecting group, with H<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>VC<sub>6</sub>H<sub>4</sub>WZ-p or a protected form thereof in which a reactive substituent group is protected; and if required removing any protecting group to give 1 wherein U = -OCH<sub>2</sub>-.. (d) reacting ACH(OH)CH<sub>2</sub>NH<sub>2</sub> or a protected form thereof in which any reactive substituent group is protected, with HO<sub>2</sub>CCH<sub>2</sub>VC<sub>6</sub>H<sub>4</sub>WZ-p or a protected form thereof in which a reactive substituent group is protected; and if required removing any protecting group to give 1 wherein U = -OCH<sub>2</sub>-.. (e) removing any protecting group from 1 in which at least one substituent carries a protecting group to give 1; or (f) converting a basic compound 1 to a salt thereof by reaction with a pharmaceutically acceptable acid; or (g) converting 1 having one or more reactive substituent groups to a different 1; or (h) isolating an isomer of 1 from a mixture thereof. More than 100 example preps. are included.

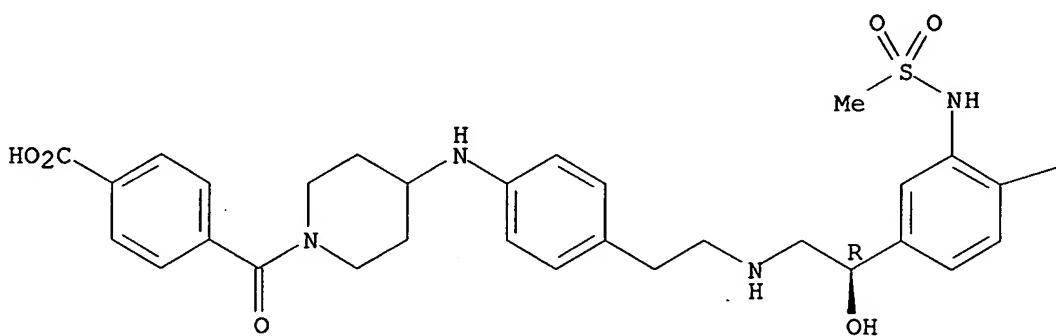
IT 392641-25-7P, 4-[4-[4-[2-[(2R)-2-Hydroxy-2-(4-hydroxy-3-methanesulfonylaminophenyl)ethylamino]ethyl]phenylamino]piperidine-1-carbonyl]benzoic acid monohydrochloride  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(intermediate; preparation of heterocyclic amino alc. beta-3 adrenergic receptor agonists)

RN 392641-25-7 CAPLUS

CN Benzoic acid, 4-[[4-[[4-[2-[(2R)-2-hydroxy-2-[4-hydroxy-3-[(methylsulfonyl)amino]phenyl]ethyl]amino]ethyl]phenyl]amino]-1-piperidinyl]carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

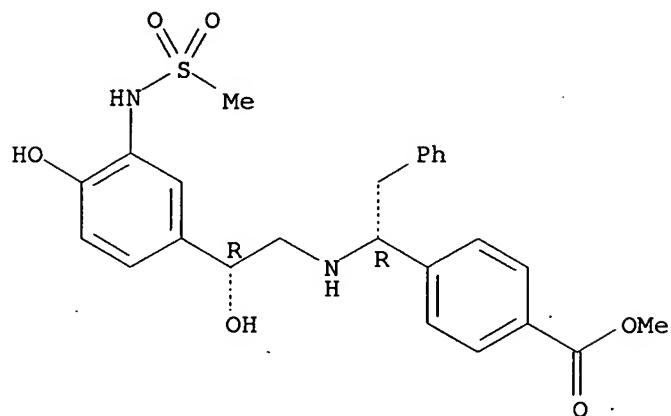
Absolute stereochemistry.

—OH

L5 ANSWER 5 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2001:872199 CAPLUS  
 DN 136:272644  
 TI BMS-196085: A potent and selective full agonist of the human  $\beta_3$  adrenergic receptor  
 AU Gavai, A. V.; Sher, P. M.; Mikkilineni, A. B.; Poss, K. M.; McCann, P. J.; Girotra, R. N.; Fisher, L. G.; Wu, G.; Bednarz, M. S.; Mathur, A.; Wang, T. C.; Sun, C. Q.; Slusarchyk, D. A.; Skwisch, S.; Allen, G. T.; Hillyer, D. E.; Frohlich, B. H.; Abboe-Offei, B. E.; Cap, M.; Waldron, T. L.; George, R. J.; Tesfamariam, B.; Harper, T. W.; Ciosek, C. P.; Young, D. A.; Dickinson, K. E.; Seymour, A. A.; Arbeeny, C. M.; Washburn, W. N.  
 CS Bristol-Myers Squibb Pharmaceutical Research Institute, Princeton, NJ, 08543-4000, USA  
 SO Bioorganic & Medicinal Chemistry Letters (2001), 11(23), 3041-3044  
 CODEN: BMCLE8; ISSN: 0960-894X  
 PB Elsevier Science Ltd.  
 DT Journal  
 LA English  
 AB A series of 4-hydroxy-3-methylsulfonanilido-1,2-diarylethylamines were prepared and evaluated for their human  $\beta_3$  adrenergic receptor agonist activity. SAR studies led to the identification of BMS-196085, a potent  $\beta_3$  full agonist ( $K_i=21\text{ nM}$ , 95% activation) with partial agonist (45%) activity at the  $\beta_1$  receptor. Based on its desirable *in vitro* and *in vivo* properties, BMS-196085 was chosen for clin. evaluation.  
 IT 170686-34-7P 170686-36-9P 170686-38-1P  
 170686-58-5P 170686-65-4P 170686-66-5P  
 406207-55-4P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (BMS-196085 as potent and selective full agonist of human  $\beta_3$  adrenergic receptor in relation to structure-activity studies and bioavailability and treatment of obesity)  
 RN 170686-34-7 CAPLUS  
 CN Benzoic acid, 4-[(1R)-1-[[[(2R)-2-hydroxy-2-[4-hydroxy-3-[(methylsulfonyl)amino]phenyl]ethyl]amino]-2-phenylethyl]-, methyl ester

(9CI) (CA INDEX NAME)

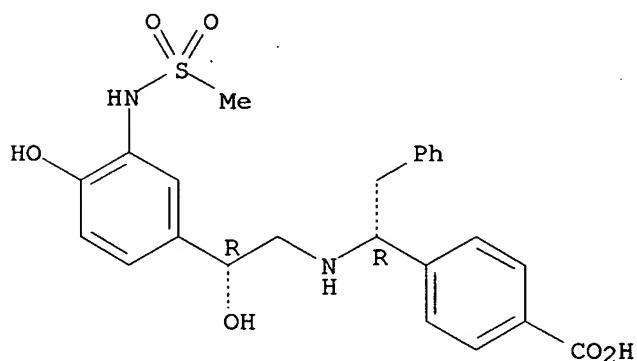
Absolute stereochemistry.



RN 170686-36-9 CAPLUS

CN Benzoic acid, 4-[(1R)-1-[(2R)-2-hydroxy-2-[4-hydroxy-3-[(methylsulfonyl)amino]phenyl]ethyl]amino]-2-phenylethyl]- (9CI) (CA INDEX NAME)

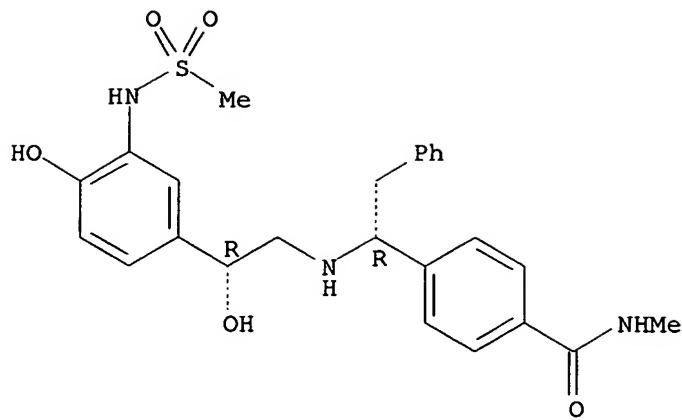
Absolute stereochemistry.



RN 170686-38-1 CAPLUS

CN Benzamide, 4-[(1R)-1-[(2R)-2-hydroxy-2-[4-hydroxy-3-[(methylsulfonyl)amino]phenyl]ethyl]amino]-2-phenylethyl]-N-methyl- (9CI) (CA INDEX NAME)

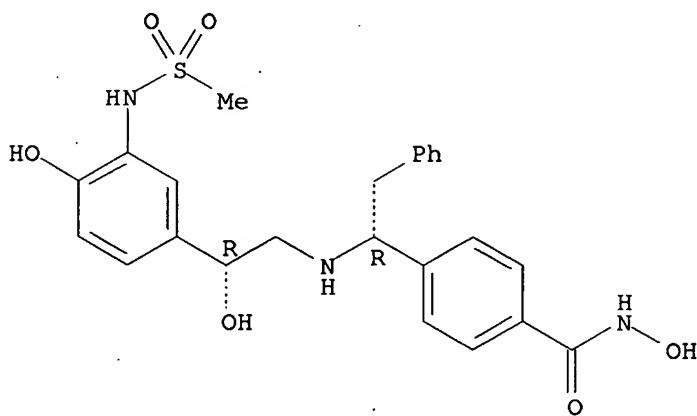
Absolute stereochemistry.



RN 170686-58-5 CAPLUS

CN Benzamide, N-hydroxy-4-[(1R)-1-[(2R)-2-hydroxy-2-[4-hydroxy-3-[(methylsulfonyl)amino]phenyl]ethyl]amino]-2-phenylethyl]- (9CI) (CA INDEX NAME)

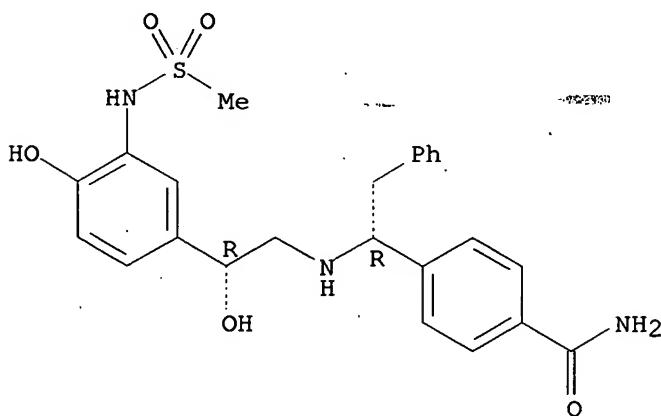
Absolute stereochemistry.



RN 170686-65-4 CAPLUS

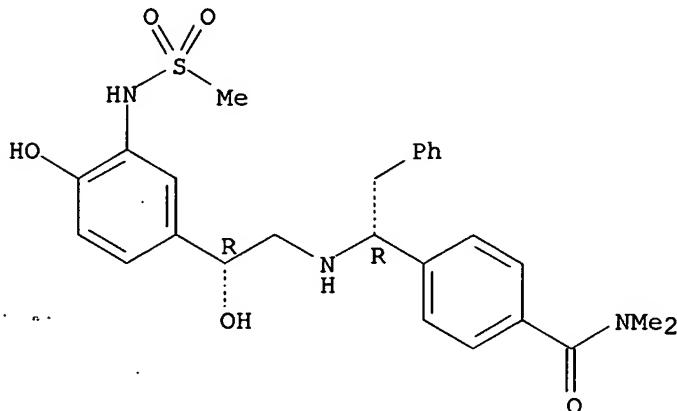
CN Benzamide, 4-[(1R)-1-[(2R)-2-hydroxy-2-[4-hydroxy-3-[(methylsulfonyl)amino]phenyl]ethyl]amino]-2-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



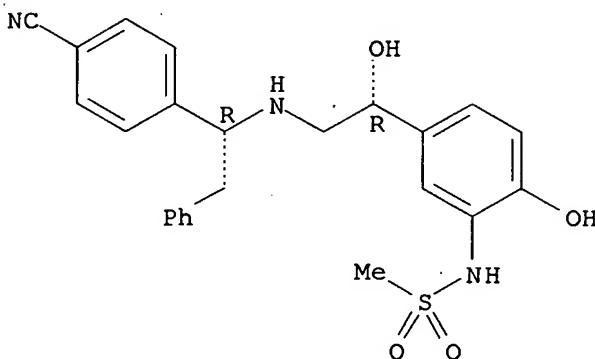
RN 170686-66-5 CAPLUS  
CN Benzamide, 4-[(1R)-1-[(2R)-2-hydroxy-2-[4-hydroxy-3-  
[methylsulfonyl]amino]phenyl]ethyl]amino]-2-phenylethyl]-N,N-dimethyl-  
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 406207-55-4 CAPLUS  
CN Methanesulfonamide, N-[5-[(1R)-2-[(1R)-1-(4-cyanophenyl)-2-  
phenylethyl]amino]-1-hydroxyethyl]-2-hydroxyphenyl]- (9CI) (CA INDEX  
NAME)

Absolute stereochemistry.

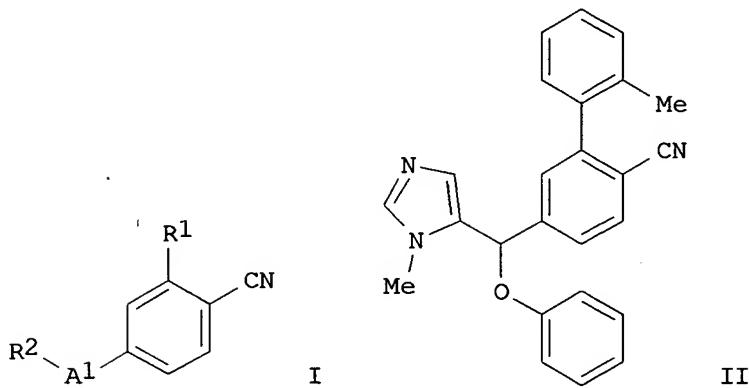


RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2001:798200 CAPLUS  
DN 135:344482  
TI Preparation of substituted 4-(heteroaryl methyl)benzonitriles as  
farnesyltransferase inhibitors  
IN Wang, Wei-Bo; Curtin, Michael L.; Fakhoury, Stephen A.; Gwaltney, Stephen  
L., II; Hasvold, Lisa A.; Hutchins, Charles W.; Li, Qui; Lin, Nan-Horng;  
Jennings Nelson, Lissa Taka; O'Connor, Stephen J.; Sham, Hing L.;  
Sullivan, Gerald M.; Wang, Gary T.; Wang, Xilu  
PA Abbott Laboratories, USA  
SO PCT Int. Appl., 305 pp.  
CODEN: PIXXD2  
DT Patent  
LA English

FAN.CNT 1

PATENT NO.		KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001081316	A2	20011101	WO 2001-US13678	20010425 <--
	WO 2001081316	A3	20020523		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2407093	AA	20011101	CA 2001-2407093	20010425 <--	
EP 1276726	A2	20030122	EP 2001-932712	20010425	
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004509064	T2	20040325	JP 2001-578410	20010425	
PRAI	US 2000-563256	A	20000427		
	US 2001-822205	A	20010402		
	WO 2001-US13678	W	20010425		
OS	MARPAT 135:344482				
GI					



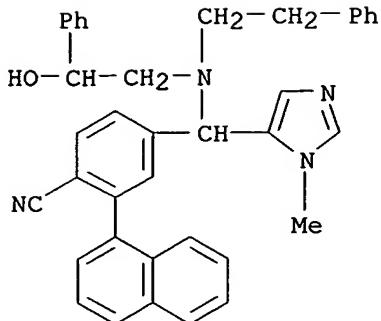
**AB** The title compds. [I; A1 = (un)substituted alkylene, etc.; R1 = halo, cycloalkyl, aryl, heteroaryl; R2 = heteroaryl selected from imidazolyl, pyrazolyl, pyrrolyl, etc.] and their pharmaceutically acceptable salts which farnesyltransferase, were prepared E.g., 3-step synthesis of the benzonitrile II.HCl which 88% inhibition of farnesyltransferase at 10<sup>-6</sup> M, was given.

IT 371764-67-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of substituted 4-(heteroaryl methyl)benzonitriles as farnesyltransferase inhibitors)

RN 371764-67-9 CAPLUS

CN Benzonitrile, 4-[[[(2-hydroxy-2-phenylethyl)(2-phenylethyl)amino](1-methyl-1H-imidazol-5-yl)methyl]-2-(1-naphthalenyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 7 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:597933 CAPLUS

DN 135:180775

TI Process for preparing optically active secondary alcohols having nitrogenous or oxygenic functional groups

IN Nakano, Seiji; Noyori, Ryoji; Ohkuma, Takeshi; Ishii, Dai

PA Asahi Kasei K. K., Japan

SO PCT Int. Appl., 163 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001058843	A1	20010816	WO 2001-JP797	20010205 <--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU	2001030583	A5	20010820	AU 2001-30583	20010205 <--
EP	1254885	A1	20021106	EP 2001-902770	20010205 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US	2003045727	A1	20030306	US 2002-203089	20020806
PRAI	JP 2000-30127	A	20000208		
	WO 2001-JP797	W	20010205		

OS CASREACT 135:180775; MARPAT 135:180775

AB Described is a process for preparing optically active alcs. of the general formula  $R_1C^*\text{H}(\text{OH})(\text{CH}_2)_n\text{A}$  [wherein  $R_1$  is linear lower alkyl, or (un)substituted mono-, di-, or tricyclic aromatic hydrocarbon or heterocyclic ring group;  $\text{A}$  is  $\text{CH}_2\text{NR}_2\text{R}_3$ ,  $\text{CH}_2\text{OR}_4$ , or  $\text{CH}(\text{OR}_1\text{R}_2)_2$ ; wherein  $\text{R}_2$  is acyl, alkoxy carbonyl, (un)substituted linear, branched, or cyclic alkyl, (un)substituted alkenyl, aralkyl, or aryl, (un)substituted and (un)saturated carbon chain, (un)substituted mono- or polycyclic heterocycl, etc.;  $\text{R}_3$  is (un)substituted linear, branched, or cyclic alkyl, (un)substituted alkenyl, aralkyl, or aryl, (un)substituted and (un)saturated carbon chain, (un)substituted mono- or polycyclic heterocycl, etc.;  $\text{R}_4$  (un)substituted linear, branched, or cyclic alkyl, (un)substituted benzyl, aralkyl, or aryl, (un)substituted and (un)saturated carbon chain, (un)substituted mono- or polycyclic heterocycl, etc.;  $\text{R}_5$  is linear, branched, or cyclic lower alkyl, (un)substituted Ph or benzyl, etc.;  $n$  is an integer of 0 to 2; and \* represents an asym. carbon atom] by asym. hydrogenating a ketone compound of the general formula  $\text{R}_1\text{CO}(\text{CH}_2)_n\text{A}$  ( $\text{R}_1$ ,  $n$ , and  $\text{A}$  are same as above) having

a nitrogenous or oxygenic functional group at any of the  $\alpha$ -,  $\beta$ - and  $\gamma$ -positions, with selectivity among functional groups by the use of a ruthenium/optically active bidentate phosphine/diamine complex as the catalyst in the presence of hydrogen alone or together with a base. This process gives in high yields with high enantioselectivity under mild conditions, optically active secondary alcs. which are useful as drugs and intermediates for the preparation of drugs. Thus, 1.2 mg trans-RuCl<sub>2</sub>[(S)-xylbinap][(S)-daipen] [wherein xylbinap = 2,2'-bis[bis(3,5-dimethylphenyl)phosphino]-1,1'-binaphthyl; daipen = 1-isopropyl-2,2-bis(p-methoxyphenyl)ethylenediamine] (preparation given), 3.46 g 4'-fluoro-4-[4-(5-fluoro-2-pyrimidinyl)-1-piperazinyl]butyrophenone, 200  $\mu$ L 1.0 M potassium tert-butoxide/2-methyl-2-propanol solution, and 20 mL 2-propanol were vigorously stirred under hydrogen at 8 atm and 25° for 32 h to give 94.5% (R)-1-(4-fluorophenyl)-4-[4-(5-fluoro-2-pyrimidinyl)-1-piperazinyl]butanol (99% ee).

IT

**355129-84-9P**

RL: BYP (Byproduct); PREP (Preparation)

(preparation of optically active secondary alcs. having nitrogenous or oxygenic functional groups by asym. hydrogenation of ketones in presence of optically active ruthenium-BINAP-diamine complex catalyst)

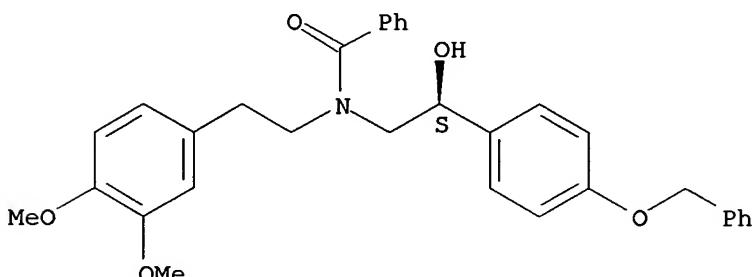
RN

355129-84-9 CAPLUS

CN

Benzamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-[(2S)-2-hydroxy-2-[4-(phenylmethoxy)phenyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT

**291533-31-8P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of optically active secondary alcs. having nitrogenous or oxygenic functional groups by asym. hydrogenation of ketones in presence of optically active ruthenium-BINAP-diamine complex catalyst)

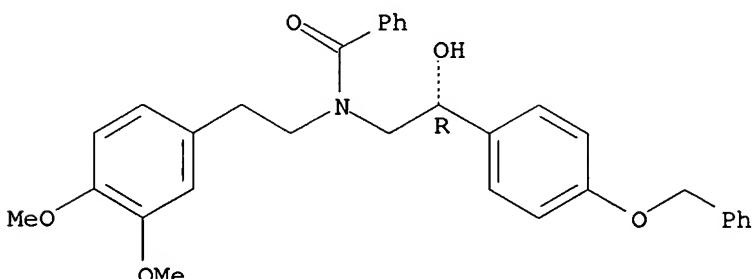
RN

291533-31-8 CAPLUS

CN

Benzamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-[(2R)-2-hydroxy-2-[4-(phenylmethoxy)phenyl]ethyl]- (9CI) (CA INDEX NAME)

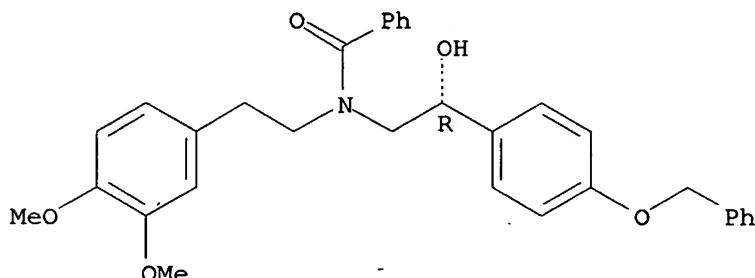
Absolute stereochemistry. Rotation (-).



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2000:425845 CAPLUS  
DN 133:251832  
TI Asymmetric Hydrogenation of Amino Ketones Using Chiral  
RuCl<sub>2</sub>(diphosphine)(1,2-diamine) Complexes  
AU Ohkuma, Takeshi; Ishii, Dai; Takeno, Hiroshi; Noyori, Ryoji  
CS Department of Chemistry and Research Center for Materials Science, Nagoya  
University, Chikusa Nagoya, 464-8602, Japan  
SO Journal of the American Chemical Society (2000), 122(27),  
6510-6511  
CODEN: JACSAT; ISSN: 0002-7863  
PB American Chemical Society  
DT Journal  
LA English  
OS CASREACT 133:251832  
AB Chiral RuCl<sub>2</sub>(diphosphine)(1,2-diamine) complexes catalyzed the asym.  
hydrogenation of amino ketones. E.g., hydrogenation of MeCOCH<sub>2</sub>NMe<sub>2</sub> in  
presence of trans-RuCl<sub>2</sub>[(R)-xylylbinap][(R)-daipen] gave 79%  
(S)-MeCH(OH)CH<sub>2</sub>NMe<sub>2</sub>. Also prepared by this catalytic hydrogenation system  
were (R)-denopamine, (R)-fluoxetine, and BMS 181100.  
IT 291533-31-8P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(asym. hydrogenation of amino ketones using chiral  
RuCl<sub>2</sub>(diphosphine)(1,2-diamine) complexes)  
RN 291533-31-8 CAPLUS  
CN Benzamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-[(2R)-2-hydroxy-2-[4-  
(phenylmethoxy)phenyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

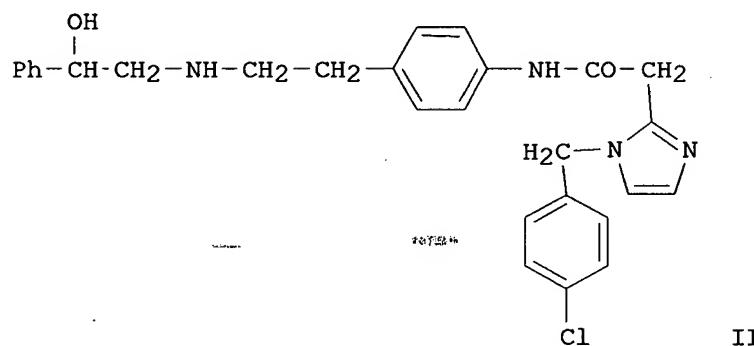
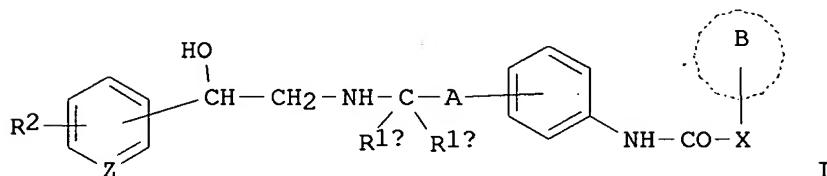


RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

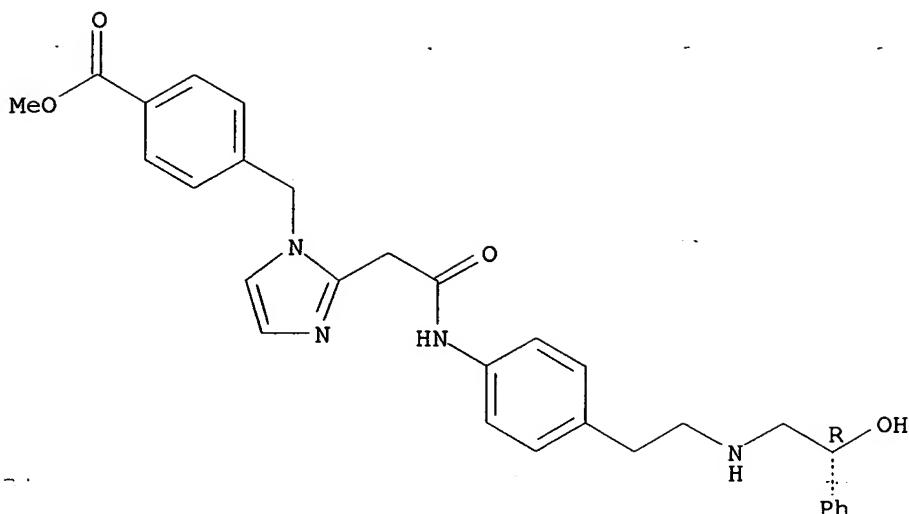
L5 ANSWER 9 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN.  
AN 1999:282201 CAPLUS  
DN 130:311793  
TI Preparation of amides as antidiabetics  
IN Maruyama, Tatsuya; Suzuki, Takayuki; Onda, Kenichi; Hayakawa, Masahiko;  
Moritomo, Hiroyuki; Kimizuka, Tetsuya; Matsui, Tetsuo  
PA Yamanouchi Pharmaceutical Co., Ltd., Japan  
SO PCT Int. Appl., 45 pp.  
CODEN: PIXXD2  
DT Patent  
LA Japanese  
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
------------	------	------	-----------------	------

PI	WO 9920607	A1	19990429	WO 1998-JP4671	19981015 <--
	W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU	9889288	A1	19990506	AU 1998-89288	19981013 <--
AU	736676	B2	20010802		
CA	2305802	AA	19990429	CA 1998-2305802	19981015 <--
AU	9894621	A1	19990510	AU 1998-94621	19981015 <--
BR	9804500	A	20000411	BR 1998-4500	19981015 <--
EP	1028111	A1	20000816	EP 1998-947894	19981015 <--
EP	1028111	B1	20040512		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI JP 3193706	B2	20010730	JP 2000-516949	19981015 <--
TW	557295	B	20031011	TW 1998-87117145	19981015
AT	266639	E	20040515	AT 1998-947894	19981015
PT	1028111	T	20040930	PT 1998-947894	19981015
CN	1218045	A	19990602	CN 1998-121375	19981016 <--
CN	1136192	B	20040128		
RU	2186763	C2	20020810	RU 1998-118906	19981016 <--
US	6346532	B1	20020212	US 2000-529096	20000407 <--
NO	2000001983	A	20000414	NO 2000-1983	20000414 <--
PRAI	JP 1997-285778	A	19971017		
	WO 1998-JP4671	W	19981015		
OS	MARPAT 130:311793				
GI					



AB The title compds. I [ring B = an optionally substituted heteroaryl optionally fused with a benzene ring; X = a bond, lower alkylene or lower alkenylene (optionally substituted by hydroxy or lower alkyl), carbonyl, or NH (further details related to X are given); A = a lower alkylene or a group represented by (lower alkylene)-O; R1a and R1b = hydrogen or lower alkyl; R2 = hydrogen or halogeno; and Z = nitrogen or CH] are prepared I

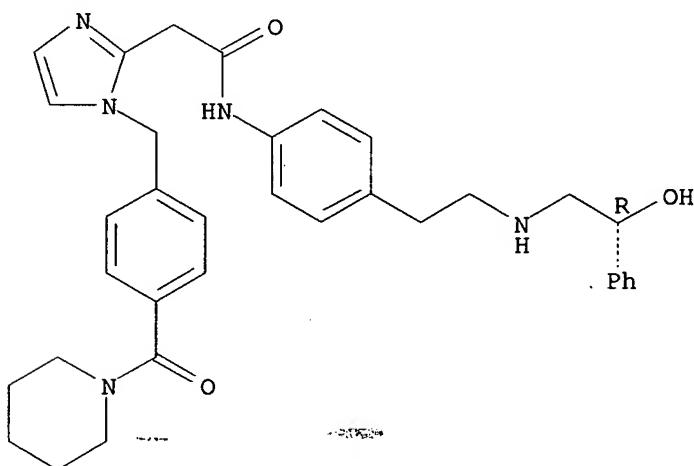


●2 HCl

RN 223672-97-7 CAPLUS

CN 1H-Imidazole-2-acetamide, N-[4-[2-[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-1-[[4-(1-piperidinylcarbonyl)phenyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●2 HCl

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMATL5 ANSWER 10 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 1998:471470 CAPLUS

DN 129:108907  
 TI Preparation of N-[3-(2-aralkylamino-1-hydroxyethyl)phenyl]methanesulfonamides and analogs as  $\beta_3$  adrenoceptor agonists  
 IN Washburn, William N.; Girotra, Ravindar N.; Sher, Philip M.; Mikkilineni, Amarendra B.; Poss, Kathleen M.; Mathur, Arvind; Bisacchi, Gregory S.; Gavai, Ashvinikumar V.  
 PA Bristol-Myers Squibb Co., USA  
 SO U.S., 79 pp., Cont.-in-part of U. S. Ser. No. 171,285, abandoned.  
 CODEN: USXXAM

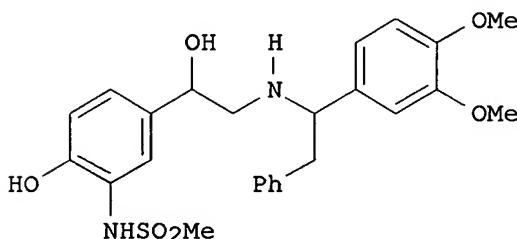
DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5776983	A	19980707	US 1994-346543	19941202 <--
	TW 424082	B	20010301	TW 1994-83111890	19941219 <--
	HU 72302	A2	19960429	HU 1994-3694	19941220 <--
	HU 220063	B	20011028		
	CA 2138675	AA	19950622	CA 1994-2138675	19941221 <--
	FI 9406003	A	19950622	FI 1994-6003	19941221 <--
	NO 9404969	A	19950622	NO 1994-4969	19941221 <--
	AU 9481635	A1	19950629	AU 1994-81635	19941221 <--
	AU 688417	B2	19980312		
	JP 07206806	A2	19950808	JP 1994-336251	19941221 <--
	CN 1109050	A	19950927	CN 1994-113297	19941221 <--
	ZA 9410213	A	19960621	ZA 1994-10213	19941221 <--
	AT 235463	E	20030415	AT 1994-120281	19941221
	ES 2194857	T3	20031201	ES 1994-120281	19941221
PRAI	US 1993-171285	B2	19931221		
OS	MARPAT 129:108907				

GI



AB R1SO2NHZ1CH(OH)CHR6NHC(R3R4)Z2R2 [R1 = alkyl or aryl(alkyl); R2 = (un)substituted Ph; R3 = H, alkyl, heterocyclyl, etc.; R4 = H, alkyl, etc.; R6 = H or alkyl; Z1 = (un)substituted 1,3-phenylene; Z2 = bond, (acyl)methylene, (CH2)2-3] were prepared as  $\beta_3$  adrenoceptor agonists (no data). Thus, 3,4-(MeO)2C6H3CH(NH2)CH2Ph was N-alkylated by 4,3-(PhCH2O)(MeSO2NH)C6H3COCH2Br (preparation each given) to give, after hydrogenation, title compound I.

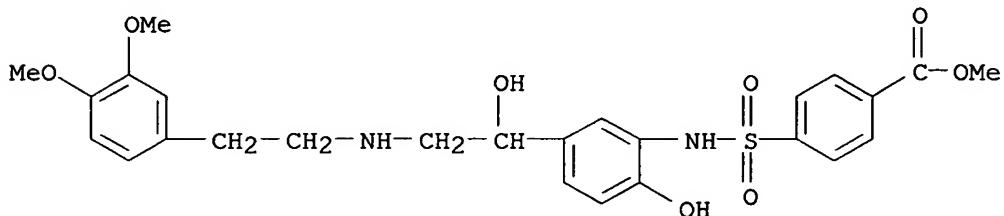
IT 170685-93-5P 170686-34-7P 170686-35-8P  
 170686-36-9P 170686-37-0P 170686-38-1P  
 170686-45-0P 170686-46-1P 170686-58-5P  
 170686-65-4P 170686-66-5P 170687-33-9P  
 170687-45-3P 170687-46-4P 170687-47-5P  
 170687-48-6P 170687-50-0P 170687-51-1P  
 170687-61-3P 170687-62-4P 170687-63-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-[3-(2-aralkylamino-1-hydroxyethyl)phenyl]methanesulfonamides and analogs as  $\beta_3$  adrenoceptor agonists)

RN 170685-93-5 CAPLUS

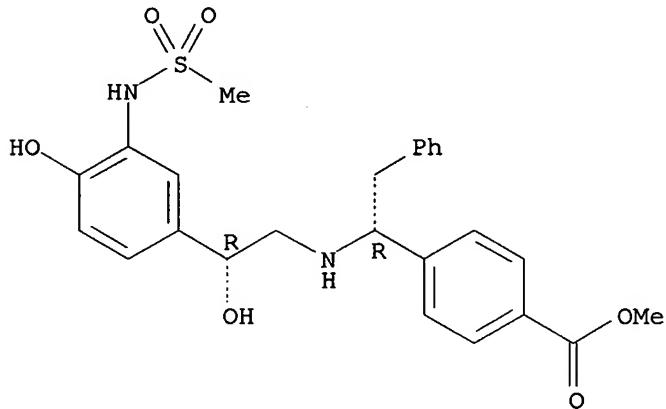
CN Benzoic acid, 4-[[[5-[2-[(3,4-dimethoxyphenyl)ethyl]amino]-1-hydroxyethyl]-2-hydroxyphenyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 170686-34-7 CAPLUS

CN Benzoic acid, 4-[(1R)-1-[(2R)-2-hydroxy-2-[4-hydroxy-3-[(methylsulfonyl)amino]phenyl]ethyl]amino]-2-phenylethyl]-, methyl ester (9CI) (CA INDEX NAME)

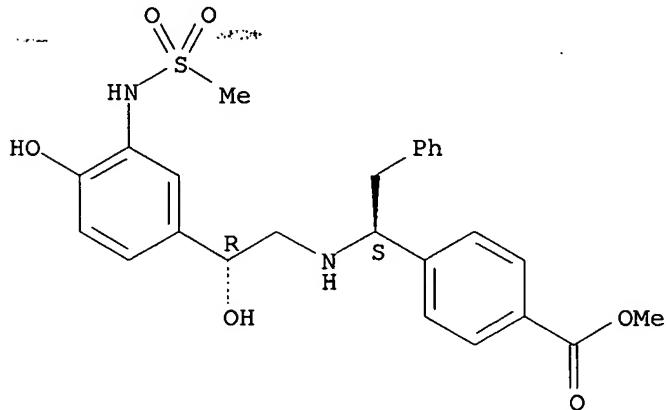
Absolute stereochemistry.



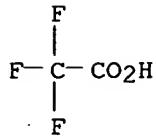
RN 170686-35-8 CAPLUS

CN Benzoic acid, 4-[(1S)-1-[(2R)-2-hydroxy-2-[4-hydroxy-3-[(methylsulfonyl)amino]phenyl]ethyl]amino]-2-phenylethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



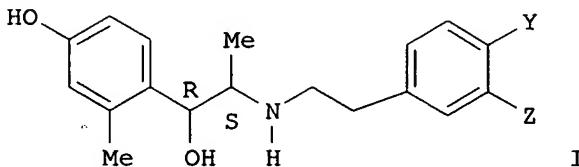
CRN 76-05-1  
CMF C2 H F3 O2



RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 11 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 1998:208517 CAPLUS  
DN 128:243826  
TI Preparation of 2-amino-1-(4-hydroxy-2-methylphenyl)propanol derivatives as β2 adrenaline receptor-stimulating agents  
IN Kitazawa, Makio; Okazaki, Kosuke; Tamai, Tetsuro; Saito, Masaru; Tanaka, Nobuyuki; Kobayashi, Hiroaki; Kikuchi, Ken; Muranaka, Hideyuki  
PA Kissei Pharmaceutical Co., Ltd., Japan  
SO PCT Int. Appl., 41 pp.  
CODEN: PIXXD2  
DT Patent  
LA Japanese  
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9813333	A1	19980402	WO 1997-JP3399	19970925 <-- W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
AU 9743202	A1	19980417	AU 1997-43202	19970925 <--
PRAI JP 1996-291028	A	19960926		
WO 1997-JP3399	W	19970925		
OS MARPAT 128:243826				
GI				



AB The title compds. I [one of Y and Z represents ACOR [wherein A represents ODE (wherein D represents alkylene; and E represents a single bond or phenylene) or ethylene; and R represents hydroxy, alkyl, alkoxy, aralkoxy, amino, dialkylamino or alicyclic amino] while the other represents hydrogen; and the carbon atoms marked with R and S resp. represent those of R- and S-configurations], useful as β2 agonists (no data) are prepared I are selective β2 adrenaline receptor agonists and are

useful as bronchodilators and as agents for the prevention of abortion and premature birth.

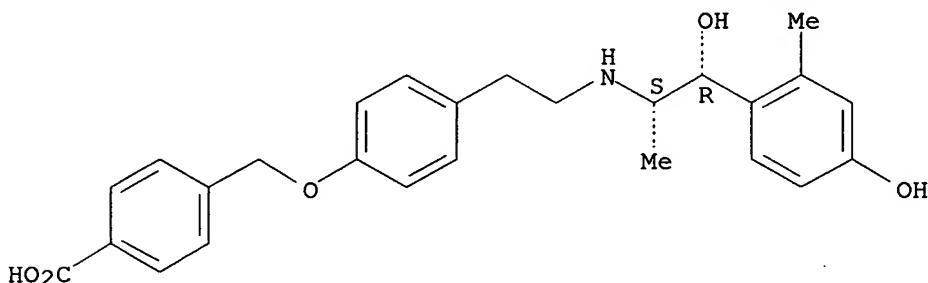
IT 204971-14-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of 2-amino-1-(4-hydroxy-2-methylphenyl)propanol derivs. as  $\beta_2$  adrenaline receptor-stimulating agents)

RN 204971-14-2 CAPLUS

CN Benzoic acid, 4-[[4-[2-[[2-hydroxy-2-(4-hydroxy-2-methylphenyl)-1-methylethyl]amino]ethyl]phenoxy]methyl]-, disodium salt, [R-(R\*,S\*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



●2 Na

RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 12 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1997:584712 CAPLUS

DN 127:277798

TI The application of high-throughput synthesis and purification to the preparation of ethanolamines

AU Shuker, Anthony J.; Siegel, Miles G.; Matthews, Donald P.; Weigel, Leland O.

CS Endocrine Res., Lilly Res. Labs., Eli Lilly and Co., Indianapolis, IN, 46285, USA

SO Tetrahedron Letters (1997), 38(35), 6149-6152  
CODEN: TELEAY; ISSN: 0040-4039

PB Elsevier

DT Journal

LA English

OS CASREACT 127:277798

AB A 48 compound library of structurally diverse ethanolamines was prepared using a parallel synthesis approach. The synthetic paradigm employed a solution phase epoxide-opening reaction followed by rapid purification by ion exchange chromatog. to yield products with near-anal. purity. An array of epoxides and primary amines, arranged in an 8+6 matrix, were reacted in the presence of an in situ silylating agent to form 48 individual compds. with an average yield of 75% and an average purity of 92.3%.

IT 196517-12-1P

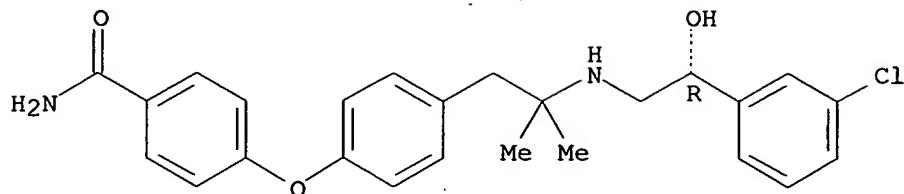
RL: SPN (Synthetic preparation); PREP (Preparation)  
(solution phase preparation of ethanolamine library via monoalkylation of primary amines with epoxides)

RN 196517-12-1 CAPLUS

CN Benzamide, 4-[4-[2-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-2-

methylpropyl]phenoxy]-, (R)- (9CI) (CA INDEX NAME)

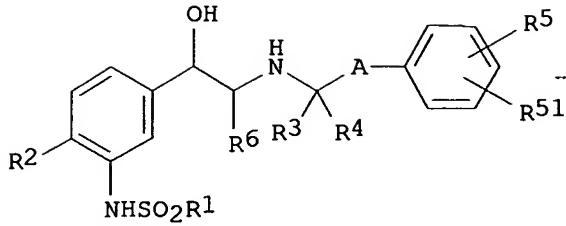
Absolute stereochemistry.



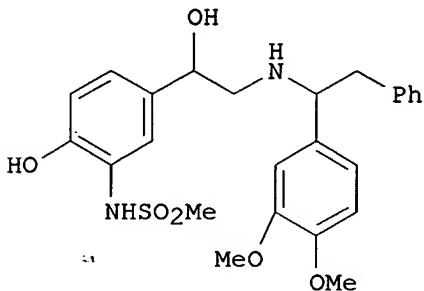
RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 13 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 1995:938107 CAPLUS  
DN 124:8408  
TI Preparation of hydroxyaminoethylphenylsulfonamide catecholamine surrogates useful as  $\beta_3$  adrenergic agonists.  
IN Washburn, William N.; Girotra, Ravindar N.; Sher, Philip M.; Mikkilineni, Amarendra B.; Poss, Kathleen M.; Mathur, Arvind; Gavai, Ashvinikumar; Bisacchi, Gregory S.  
PA Bristol-Myers Squibb Co., USA  
SO Eur. Pat. Appl., 147 pp.  
CODEN: EPXXDW  
DT Patent  
LA English  
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 659737	A2	19950628	EP 1994-120281	19941221 <--
	EP 659737	A3	19970305		
	EP 659737	B1	20030326		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	TW 424082	B	20010301	TW 1994-83111890	19941219 <--
	HU 72302	A2	19960429	HU 1994-3694	19941220 <--
	HU 220063	B	20011028		
	CA 2138675	AA	19950622	CA 1994-2138675	19941221 <--
	FI 9406003	A	19950622	FI 1994-6003	19941221 <--
	NO 9404969	A	19950622	NO 1994-4969	19941221 <--
	AU 9481635	A1	19950629	AU 1994-81635	19941221 <--
	AU 688417	B2	19980312		
	JP 07206806	A2	19950808	JP 1994-336251	19941221 <--
	CN 1109050	A	19950927	CN 1994-113297	19941221 <--
	ZA 9410213	A	19960621	ZA 1994-10213	19941221 <--
	AT 235463	E	20030415	AT 1994-120281	19941221
	ES 2194857	T3	20031201	ES 1994-120281	19941221
PRAI	US 1993-171285	A	19931221		
OS	CASREACT 124:8408; MARPAT 124:8408				
GI					



I



II

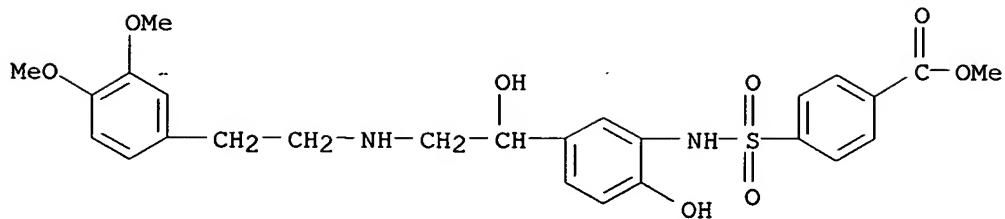
**AB** Title compds. [I; A = bond,  $(CH_2)_n$ , CHB; n = 1-3; B = cyano, CONR9R91, CO2R7; R1 = alkyl, aryl, aralkyl; R2 = H, OH, alkoxy, CH2OH, cyano, CO2R7, CO2H, CONH2, tetrazolyl, CH2NH2, halo; R3 = H, alkyl, heterocyclyl, (substituted) Ph; R4 = H, alkyl, B; R5, R51 = H, alkoxy, alkyl, halo, OH, cyano,  $(CH_2)_nNR_6COR_7$ , CONR6R61, CONR6OR6, CO2R6, SR7, SOR7, SO2R7, NR6SO2R1, NR6R61, NR6COR7, OCH2CONR6R61, OCH2CO2R7, aryl; R5R51 = atoms to form aryl, heterocyclyl; R6, R61 = H, alkyl; R7 = alkyl; R9, R91 = H, alkyl, cycloalkyl, aralkyl, aryl, heteroaryl; R9R91N = heterocyclyl; with the proviso that when A = bond or  $(CH_2)_n$  and R3 = H or unsubstituted alkyl, then R4 = B or substituted alkyl], were prepared for treating diabetes, obesity, intestinal hypermotility, etc. (no data). Thus, 3,4-dimethoxybenzaldehyde in THF was treated with PhCH2MgCl in THF followed by 20 min reflux to give 90%  $\alpha$ -(3,4-dimethoxyphenyl)benzeneethanol; Jones oxidation gave 89% 1-(3,4-dimethoxyphenyl)-2-phenylethanone. The latter was heated at 160° with NH4O2CH to give N-[1-(3,4-dimethoxyphenyl)-2-phenylethyl]formamide, which was treated with HCl in MeOH to give 77%  $\alpha$ -(3,4-dimethoxyphenyl)benzeneethanamine hydrochloride. This was converted to the free base, which in MeCN was treated with 2-bromo-1-[4-phenylmethoxy-3-methylsulfonylamino]phenylethanone (preparation given) and then NaBH4 in EtOH to give title compound (II), isolated as the trifluoroacetate salt.

**IT** 170685-93-5P 170686-34-7P 170686-35-8P  
 170686-36-9P 170686-37-0P 170686-38-1P  
 170686-45-0P 170686-46-1P 170686-58-5P  
 170686-65-4P 170686-66-5P 170687-33-9P  
 170687-45-3P 170687-46-4P 170687-47-5P  
 170687-48-6P 170687-50-0P 170687-51-1P  
 170687-61-3P 170687-62-4P 170687-63-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of catecholamine surrogates useful as  $\beta_3$  adrenergic agonists)

**RN** 170685-93-5 CAPLUS

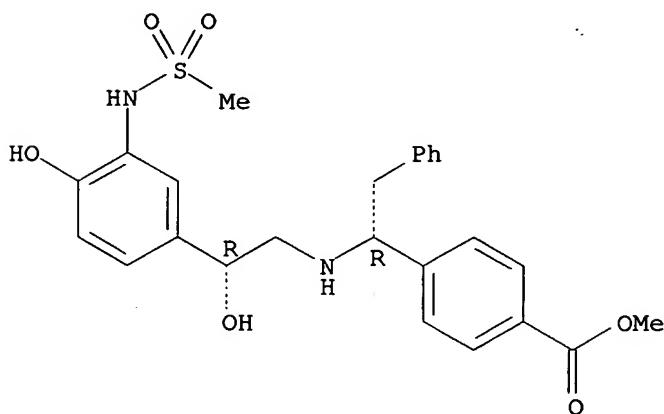
**CN** Benzoic acid, 4-[[[5-[2-[(3,4-dimethoxyphenyl)ethyl]amino]-1-hydroxyethyl]-2-hydroxyphenyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 170686-34-7 CAPLUS

CN Benzoic acid, 4-[(1R)-1-[(2R)-2-hydroxy-2-[4-hydroxy-3-[(methylsulfonyl)amino]phenyl]ethyl]amino]-2-phenylethyl]-, methyl ester  
(9CI) (CA INDEX NAME)

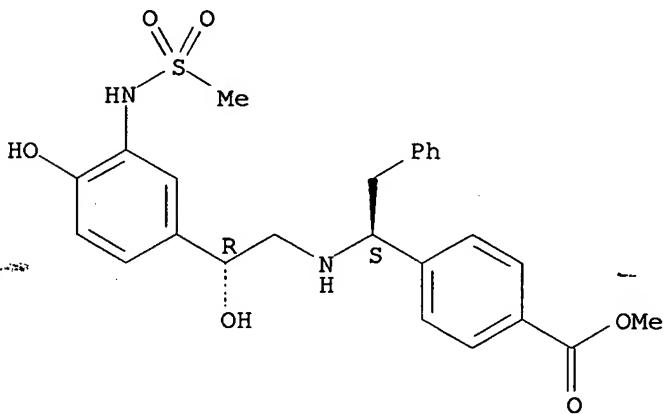
Absolute stereochemistry.



RN 170686-35-8 CAPLUS

CN Benzoic acid, 4-[(1S)-1-[(2R)-2-hydroxy-2-[4-hydroxy-3-[(methylsulfonyl)amino]phenyl]ethyl]amino]-2-phenylethyl]-, methyl ester  
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

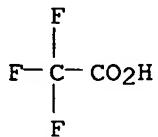


RN 170686-36-9 CAPLUS

CN Benzoic acid, 4-[(1R)-1-[(2R)-2-hydroxy-2-[4-hydroxy-3-[(methylsulfonyl)amino]phenyl]ethyl]amino]-2-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

CRN 76-05-1  
CMF C2 H F3 O2

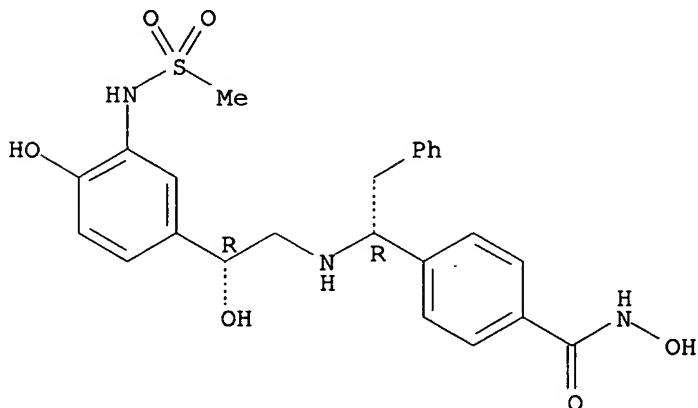


RN 170687-63-5 CAPLUS  
CN Benzamide, N-hydroxy-4-[(1R)-1-[(2R)-2-hydroxy-2-[4-hydroxy-3-[(methylsulfonyl)amino]phenyl]ethyl]amino]-2-phenylethyl-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

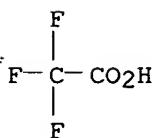
CRN 170686-58-5  
CMF C24 H27 N3 O6 S

Absolute stereochemistry.



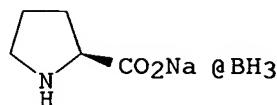
CM 2

CRN 76-05-1  
CMF C2 H F3 O2



L5 ANSWER 14 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 1993:670706 CAPLUS  
DN 119:270706  
TI Asymmetric reduction of aromatic ketones. I. Enantioselective synthesis of denopamine  
AU Kawaguchi, Takayuki; Saito, Kunio; Matsuki, Kenji; Iwakuma, Takeo; Takeda, Mikio

CS Org. Chem. Res. Lab., Tanabe Seiyaku Co., Ltd., Toda, 335, Japan  
SO Chemical & Pharmaceutical Bulletin (1993), 41(4), 639-42  
CODEN: CPBTAL; ISSN: 0009-2363  
DT Journal  
LA English  
OS CASREACT 119:270706  
GI



AB Asym. reduction of the N-protected amino ketone 4-(PhCH<sub>2</sub>O)C<sub>6</sub>H<sub>4</sub>COCH<sub>2</sub>N(COR)CH<sub>2</sub>CH<sub>2</sub>C<sub>6</sub>H<sub>3</sub>(OMe)<sub>2</sub>-3,4 (I, R = PhCH<sub>2</sub>O, Ph, Me, C<sub>13</sub>CCH<sub>2</sub>, Me<sub>3</sub>C, Me<sub>3</sub>CO, 2,4,6-Me<sub>3</sub>C<sub>6</sub>H<sub>2</sub>) with several chiral reducing agents, i.e., (R)-(+)-2-amino-3-methyl-1,1-diphenylbutanol-borane complex (method A), (S,S')-N,N'-dibenzoylcystine-LiBH<sub>4</sub>-ROH complex (method B), and sodium (S)-proline-borane complex II (method C), was investigated in an attempt to synthesize denopamine (R)-4-HOC<sub>6</sub>H<sub>4</sub>CH(OH)CH<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>C<sub>6</sub>H<sub>3</sub>(OMe)<sub>2</sub>-3,4 enantioselectively. Reduction of I (R = Me<sub>3</sub>C) by method B in THF at 2-3° gave the best result (88% ee with 95% chemical yield).

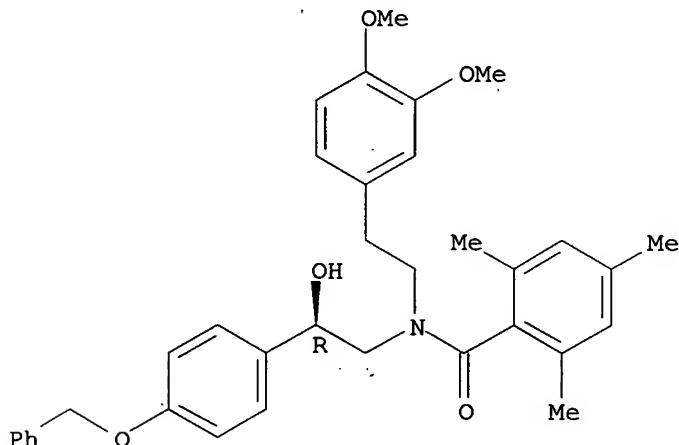
IT 151324-06-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 151324-06-0 CAPLUS

CN Benzamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-[2-hydroxy-2-[4-(phenylmethoxy)phenyl]ethyl]-2,4,6-trimethyl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 15 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 1989:477643 CAPLUS

DN 111:77643

TI Preparation of new phenylethanamines and pharmaceuticals containing them  
IN Hurnaus, Rudolf; Reiffen, Manfred; Sauter, Robert; Grell, Wolfgang;  
Rupprecht, Eckhard

PA Thomae, Dr. Karl, G.m.b.H., Fed. Rep. Ger.

SO Ger. Offen., 26 pp.

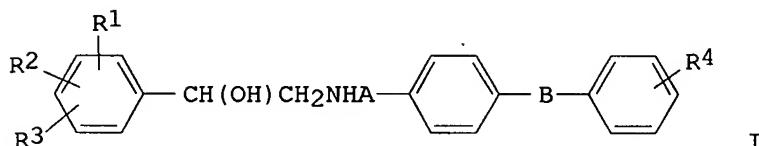
CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3718638	A1	19881222	DE 1987-3718638	19870604 <--
	WO 9006299	A1	19900614	WO 1988-EP1083	19881129 <--
	W: AU, DK, JP, KR, US				
	RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
	AU 8826115	A1	19900626	AU 1988-26115	19881129 <--
	AU 617139	B2	19911121		
	EP 375791	A1	19900704	EP 1988-119850	19881129 <--
	R: ES, GR				
	EP 400011	A1	19901205	EP 1989-900024	19881129 <--
	EP 400011	B1	19940126		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	JP 03503405	T2	19910801	JP 1989-500051	19881129 <--
	AT 100792	E	19940215	AT 1989-900024	19881129 <--
	CA 1325210	A1	19931214	CA 1988-584935	19881202 <--
	DK 9001619	A	19900705	DK 1990-1619	19900705 <--
	US 5232946	A	19930803	US 1990-572969	19900820 <--
PRAI	DE 1987-3718638		19870604		
	EP 1989-900024		19881129		
	WO 1988-EP1083		19881129		
OS	CASREACT 111:77643; MARPAT 111:77643				
GI					



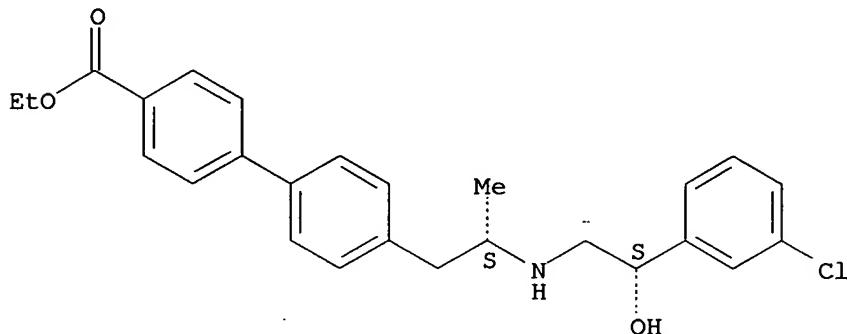
AB The title compds. [I; A = C1-5 alkylene; B = bond, C1-2 alkylene, CO, CHO; R1 = H, halo, CF<sub>3</sub>; R2 = H, NH<sub>2</sub>; R3 = H, cyano, Cl, Br; R4 = H, halo, alkyl, OH, (un)substituted alkoxy, etc.], their optical isomers, diastereomers, and salts, useful in treatment of diabetes mellitus, obesity, and for treatment and prophylaxis of atherosclerosis, were prepared by 7 methods. 4-PhC<sub>6</sub>H<sub>4</sub>CO<sub>2</sub>Et in CH<sub>2</sub>C<sub>12</sub> was treated with AlCl<sub>3</sub> and MeCHClCOCl in CH<sub>2</sub>C<sub>12</sub> at 0° and kept overnight at room temperature to give 4-(4-MeCHClCO<sub>2</sub>C<sub>6</sub>H<sub>4</sub>)C<sub>6</sub>H<sub>4</sub>CO<sub>2</sub>Et which was refluxed 2 days with KOAc in Me<sub>2</sub>CO to give 4-[4-AcOCHMeCO<sub>2</sub>C<sub>6</sub>H<sub>4</sub>]C<sub>6</sub>H<sub>4</sub>CO<sub>2</sub>Et. NaBH<sub>4</sub> reduction and heating with polyphosphoric acid at 80° gave 4-(4-MeCOCH<sub>2</sub>C<sub>6</sub>H<sub>4</sub>)C<sub>6</sub>H<sub>4</sub>CO<sub>2</sub>Et which was treated with 3-ClC<sub>6</sub>H<sub>4</sub>CH(OH)CH<sub>2</sub>NH<sub>2</sub> in EtOH containing NaBH<sub>3</sub>CN and AcOH at room temperature to give I (R<sub>1</sub> = 3-Cl, R<sub>2</sub> = R<sub>3</sub> = H, A = CHMeCH<sub>2</sub>, B = bond, R<sub>4</sub> = 4-CO<sub>2</sub>Et) (II). In mice 1 and 3 mg II/kg orally decreased blood sugar 37% and 49%, resp., vs. a control. A formulation for dragees comprised I (R<sub>1</sub> = 3-Cl, R<sub>2</sub> = R<sub>3</sub> = H, A = CHMeCH<sub>2</sub>, B = CH<sub>2</sub>, R<sub>4</sub> = 2-CO<sub>2</sub>Et) 10.0, lactose 69.0, corn starch 35.0, polyvinylpyrrolidone 5.0, and Mg stearate 1.0 mg.

IT 121804-37-3P 121804-38-4P 121804-52-2P  
121804-53-3P 121804-58-8P 121804-59-9P  
121804-60-2P 121804-61-3P 121804-65-7P  
121804-79-3P 121804-91-9P 121804-98-6P  
121804-99-7P 121805-07-0P 121805-12-7P  
121805-21-8P 121805-22-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(preparation of, as pharmaceutical)

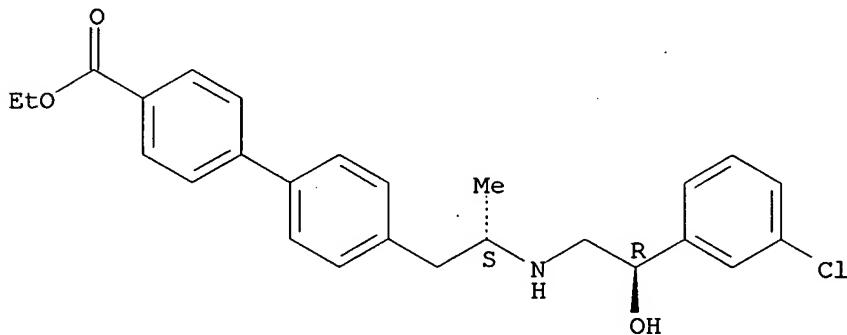
RN 121804-37-3 CAPLUS  
CN [1,1'-Biphenyl]-4-carboxylic acid, 4'-[2-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]propyl]-, ethyl ester, (R\*,R\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



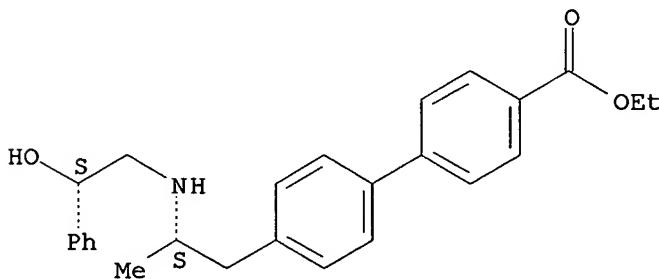
RN 121804-38-4 CAPLUS  
CN [1,1'-Biphenyl]-4-carboxylic acid, 4'-[2-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]propyl]-, ethyl ester, (R\*,S\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



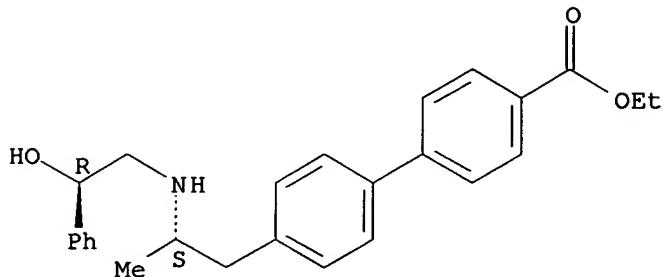
RN 121804-52-2 CAPLUS  
CN [1,1'-Biphenyl]-4-carboxylic acid, 4'-[2-[(2-hydroxy-2-phenylethyl)amino]propyl]-, ethyl ester, (R\*,R\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



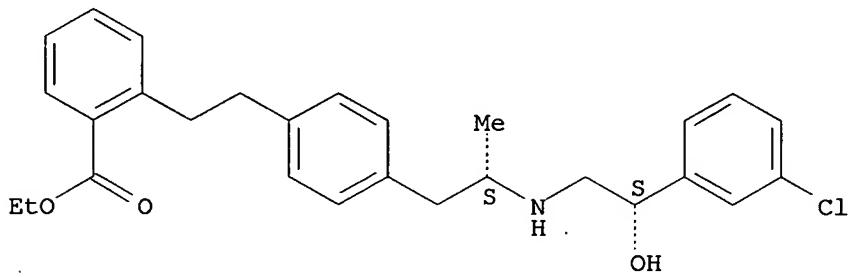
RN 121804-53-3 CAPLUS  
CN [1,1'-Biphenyl]-4-carboxylic acid, 4'-[2-[(2-hydroxy-2-phenylethyl)amino]propyl]-, ethyl ester, (R\*,S\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



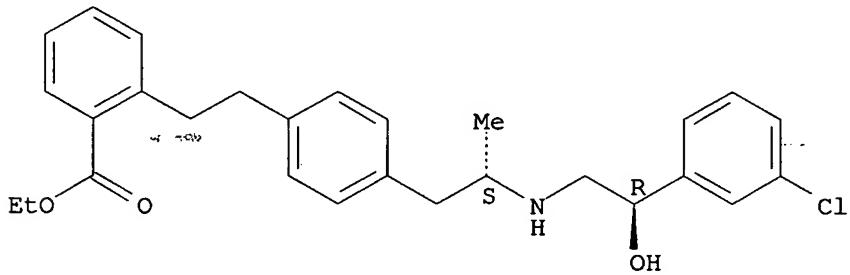
RN 121804-58-8 CAPLUS  
CN Benzoic acid, 2-[2-[4-[2-[(2-(3-chlorophenyl)-2-hydroxyethyl]amino]propyl]phenyl]ethyl]-, ethyl ester, (R\*,R\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



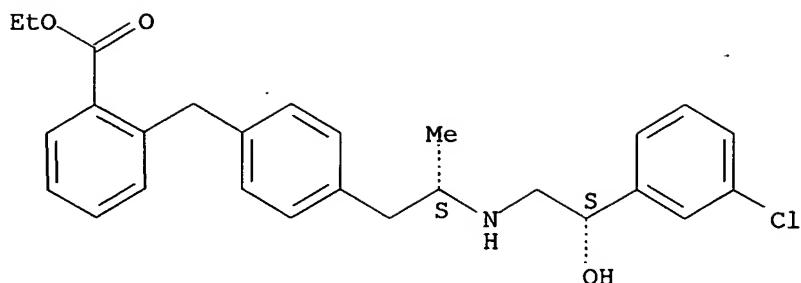
RN 121804-59-9 CAPLUS  
CN Benzoic acid, 2-[2-[4-[2-[(2-(3-chlorophenyl)-2-hydroxyethyl]amino]propyl]phenyl]ethyl]-, ethyl ester, (R\*,S\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 121804-60-2 CAPLUS  
CN Benzoic acid, 2-[[4-[2-[(2-(3-chlorophenyl)-2-hydroxyethyl]amino]propyl]phenyl]methyl]-, ethyl ester, (R\*,R\*)- (9CI) (CA INDEX NAME)

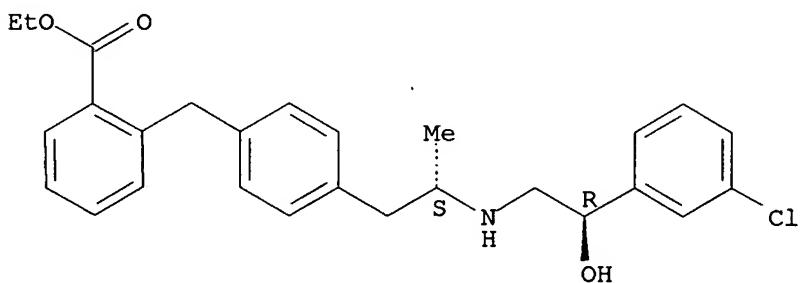
Relative stereochemistry.



RN 121804-61-3 CAPLUS

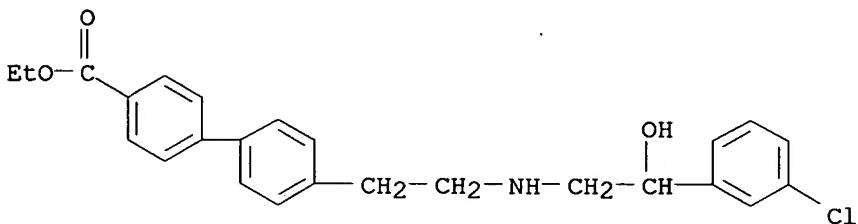
CN Benzoic acid, 2-[(4-[(2-[(3-chlorophenyl)-2-hydroxyethyl]amino]propyl)phenyl]methyl]-, ethyl ester, (R\*,S\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



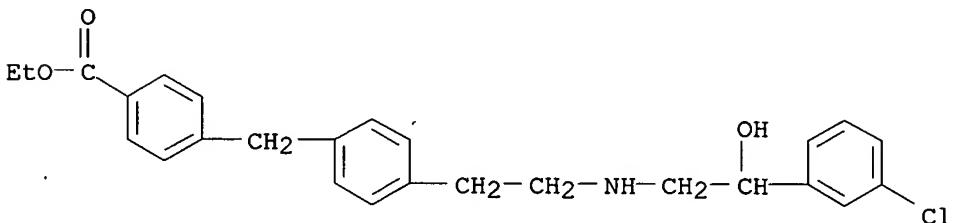
RN 121804-65-7 CAPLUS

CN [1,1'-Biphenyl]-4-carboxylic acid, 4'-(2-[(2-(3-chlorophenyl)-2-hydroxyethyl]amino)ethyl]-, ethyl ester (9CI) (CA INDEX NAME)

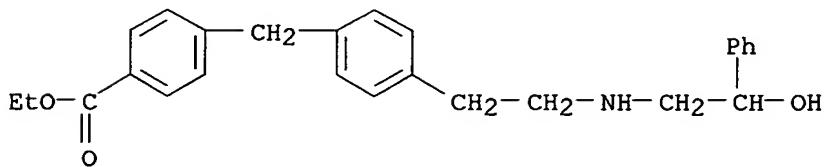


RN 121804-79-3 CAPLUS

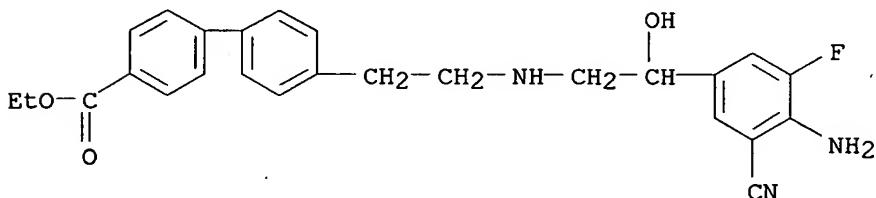
CN Benzoic acid, 4-[(4-[(2-[(3-chlorophenyl)-2-hydroxyethyl]amino)ethyl]phenyl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)



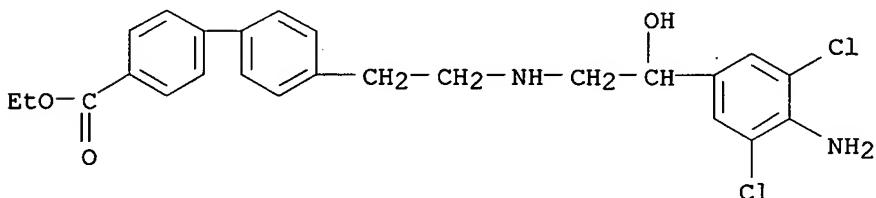
RN 121804-91-9 CAPLUS  
CN Benzoic acid, 4-[(4-[2-[(2-hydroxy-2-phenylethyl)amino]ethyl]phenyl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)



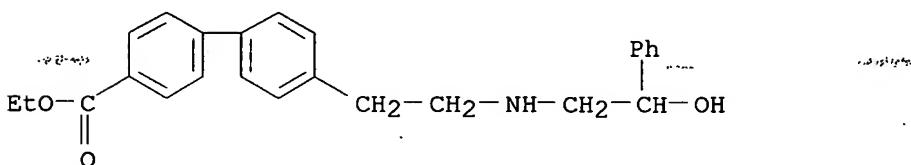
RN 121804-98-6 CAPLUS  
CN [1,1'-Biphenyl]-4-carboxylic acid, 4'-(2-[(2-(4-amino-3-cyano-5-fluorophenyl)-2-hydroxyethyl)amino]ethyl)-, ethyl ester (9CI) (CA INDEX NAME)



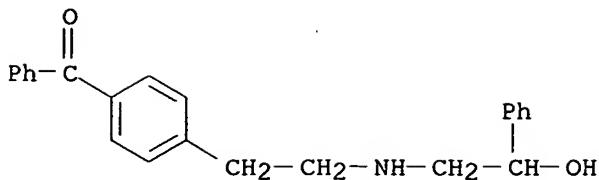
RN 121804-99-7 CAPLUS  
CN [1,1'-Biphenyl]-4-carboxylic acid, 4'-(2-[(2-(4-amino-3,5-dichlorophenyl)-2-hydroxyethyl)amino]ethyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 121805-07-0 CAPLUS  
CN [1,1'-Biphenyl]-4-carboxylic acid, 4'-(2-[(2-hydroxy-2-phenylethyl)amino]ethyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 121805-12-7 CAPLUS  
CN Methanone, [4-(2-[(2-hydroxy-2-phenylethyl)amino]ethyl)phenyl]phenyl-, hydrochloride (9CI) (CA INDEX NAME)

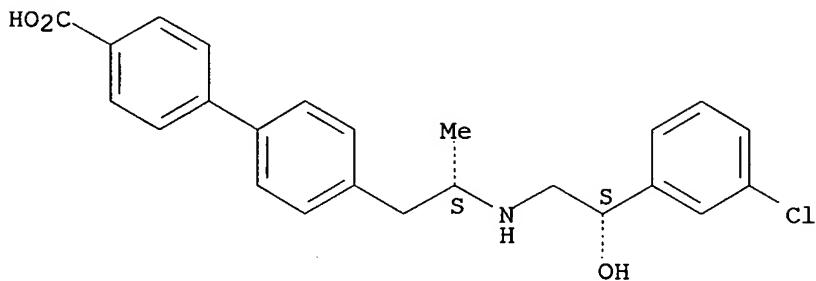


● HCl

RN 121805-21-8 CAPLUS

CN [1,1'-Biphenyl]-4-carboxylic acid, 4'-[2-[(2-(3-chlorophenyl)-2-hydroxyethyl]amino]propyl]-, (R\*,R\*)- (9CI) (CA INDEX NAME)

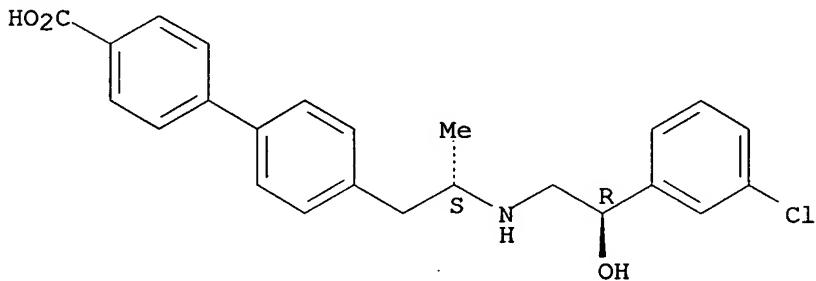
Relative stereochemistry.



RN 121805-22-9 CAPLUS

CN [1,1'-Biphenyl]-4-carboxylic acid, 4'-[2-[(2-(3-chlorophenyl)-2-hydroxyethyl]amino]propyl]-, (R\*,S\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L5 ANSWER 16 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1988:454475 CAPLUS

DN 109:54475

TI Preparation of  $\alpha$ -(aminoalkyl)-4-hydroxy-3-(alkylthio)benzenemethanols as antihypertensives

IN Philion, Richard E.

PA Sterling Drug Inc., USA

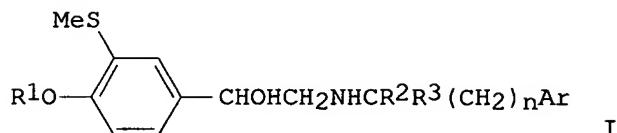
SO U.S., 21 pp. Cont.-in-part of U.S. Ser. No. 937,926, abandoned.  
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	US 4695589	A	19870922	US 1983-499102	19830527 <--	
	BE 856055	A1	19771223	BE 1977-8219	19770623 <--	
	ZA 7703762	A	19780530	ZA 1977-3762	19770623 <--	
	AT 7806347	A	19800115	AT 1978-6347	19780901 <--	
	AT 358009	B	19800811			
	AT 7806348	A	19800215	AT 1978-6348	19780901 <--	
	AT 358558	B	19800925			
	CA 1091246	A2	19801209	CA 1980-347766	19800317 <--	
	CA 1092142	A2	19801223	CA 1980-347767	19800317 <--	
	DK 8003937	A	19800917	DK 1980-3937	19800917 <--	
	DK 8003938	A	19800917	DK 1980-3938	19800917 <--	
	CH 630068	A	19820528	CH 1981-445	19810122 <--	
	DK 8300764	A	19830222	DK 1983-764	19830222 <--	
	FI 8300796	A	19830309	FI 1983-796	19830309 <--	
	FI 8300797	A	19830309	FI 1983-797	19830309 <--	
	PRAI	US 1976-699856	A2	19760625		
		US 1977-803372	A2	19770603		
		US 1978-937926	A2	19780830		
		FI 1977-1976	A	19770623		
		AT 1977-4493	A	19770624		
	CA 1977-281375	A3	19770624			
	CH 1977-7791	A	19770624			
	DK 1977-2817	A	19770624			
OS	CASREACT 109:54475					
GI						



AB Title compds. I ( $\text{R}^1 = \text{H}$ , alkanoyl;  $\text{R}^2, \text{R}^3 = \text{H}$ , alkyl;  $\text{Ar} = \text{alkoxyphenyl}$ ;  $n = 1, 2$ ) and their acid addition salts are prepared as antihypertensives. Sodium borohydride reduction of 9.0 g 4'-hydroxy-2-[3-(4-methoxyphenyl)-1-methylpropyl]amino-3'-(methylthio)acetophenone 4'-acetate hydrochloride in MeOH gave 7.2 g I ( $\text{R}^1 = \text{H}$ ,  $\text{R}^2 = \text{H}$ ,  $\text{R}^3 = \text{Me}$ ,  $\text{Ar} = 4\text{-MeOC}_6\text{H}_4$ ,  $n = 2$ ) acetate which at 15 mg/kg p.o. in rats lowered blood pressure by 40 mm.

IT 66265-00-7P 71897-15-9P 71897-17-1P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of as antihypertensive)

RN 66265-00-7 CAPLUS

CN Benzenemethanol, 4-(benzoyloxy)- $\alpha$ -[[[3-(4-methoxyphenyl)-1-methylpropyl]amino]methyl]-3-(methylthio)-, acetate (salt) (9CI) (CA INDEX NAME)

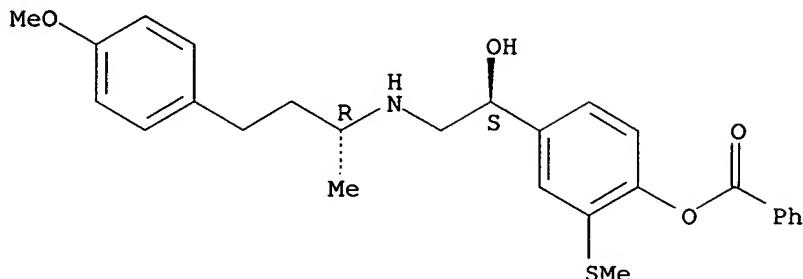
CM 1

CRN 66264-99-1  
 CMF C27 H31 N O4 S

CM 1

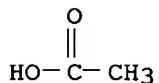
CRN 71897-16-0  
CMF C27 H31 N O4 S

Relative stereochemistry.



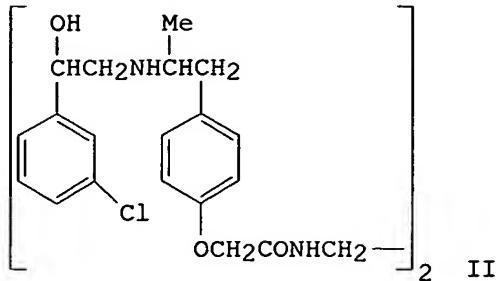
CM 2

CRN 64-19-7  
CMF C2 H4 O2



L5 ANSWER 17 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 1988:111962 CAPLUS  
DN 108:111962  
TI Bis(phenylethanolamines) and bis(phenoxypropanolamines) useful as beta-agonists, and a process for their preparation  
IN Ainsworth, Anthony Trevor; Smith, David Glynn Beecham Phar  
PA Beecham Group PLC, UK  
SO Eur. Pat. Appl., 162 pp.  
CODEN: EPXXDW  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 233686	A2	19870826	EP 1987-300191	19870109 <--
	EP 233686	A3	19890503		
	R: BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	DK 8700099	A	19870712	DK 1987-99	19870109 <--
	AU 8767473	A1	19870716	AU 1987-67473	19870109 <--
	ZA 8700144	A	19871125	ZA 1987-144	19870109 <--
	JP 62209048	A2	19870914	JP 1987-3430	19870112 <--
PRAI	GB 1986-644	A	19860111		
	GB 1986-11345	A	19860509		
GI					



AB Title compds. RAELE'RB [I; RA and RB independently = RXCH(OH)CH<sub>2</sub>NR<sub>1</sub>CR<sub>2</sub>R<sub>3</sub>(CH<sub>2</sub>)<sub>n</sub>Z; R = (substituted) aryl, benzofuranyl; X = bond, OCH<sub>2</sub>; R<sub>1</sub> = H, RXCH(OH)CH<sub>2</sub>; R<sub>2</sub>, R<sub>3</sub> = H, alkyl; Z = bond, CH<sub>2</sub>O; n = 1,2; E, E' = (substituted) aryl; L = linking moiety] are prepared as  $\beta$ -agonists for use in human and veterinary medicine. A solution of (R,R)-Et 2-[4-[2-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]propyl]phenoxy] acetate and H<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub> in EtOH was refluxed for 4 days to give ethanediylbis(phenoxyacetamide) derivative (R,R,R,R)-II (III). At 5  $\mu$ mol/kg orally in rats, III increased average energy expenditure to 127% of control over 21 h.

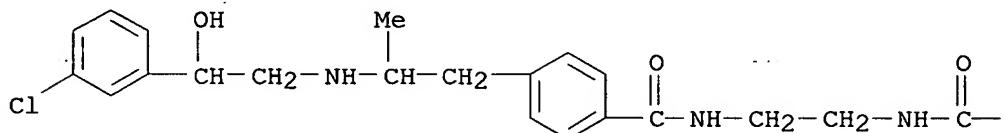
IT 113050-78-5P 113050-81-0P 113070-65-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reaction of, in synthesis of bis(phenylethanolamine)  $\beta$ -agonists)

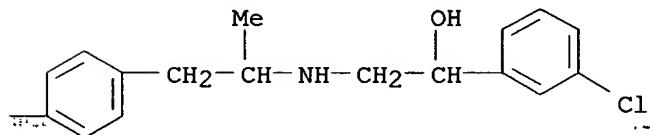
RN 113050-78-5 CAPLUS

CN Benzamide, N,N'-1,2-ethanediylbis[4-[2-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]propyl]-, stereoisomer (9CI) (CA INDEX NAME)

PAGE 1-A

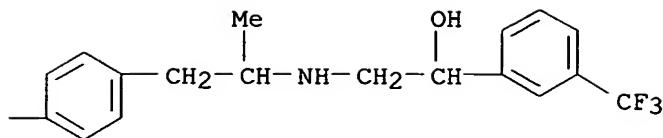


PAGE 1-B



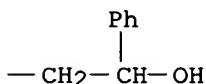
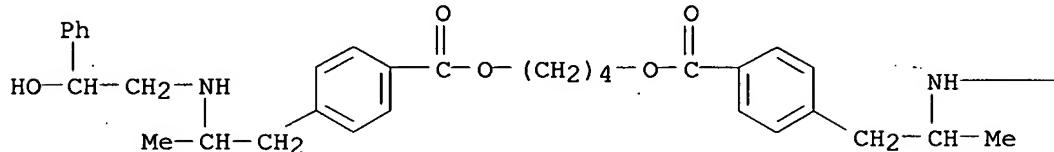
RN 113050-81-0 CAPLUS

CN Benzeneacetamide, N,N'-[1,6-hexanediylbis(iminocarbonyl-4,1-phenylene(1-methyl-2,1-ethanediyl))]bis[3-chloro- $\alpha$ -hydroxy-, stereoisomer (9CI) (CA INDEX NAME)



RN 113070-58-9 CAPLUS

CN Benzoic acid, 4-[2-[(2-hydroxy-2-phenylethyl)amino]propyl]-, 1,4-butanediyl ester (9CI) (CA INDEX NAME)



L5 ANSWER 18 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1987:407603 CAPLUS

DN 107:7603

TI (3,4-Dihydroxyphenyl)serine derivatives

PA Sumitomo Pharmaceuticals Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 40 pp.

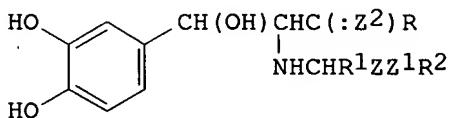
CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 61145148	A2	19860702	JP 1985-136581	19850621 <--
	JP 05050499	B4	19930729		
	US 4695580	A	19870922	US 1984-683430	19841219 <--
PRAI	US 1984-683430		19841219		
	JP 1983-241601		19831220		
GI					

AB The title compds. I [R = (cyclo)alkoxy, (un)substituted carbamoyloxy, substituted methoxy, substituted amino; R<sub>1</sub> = H, alkyl, Ph; R<sub>2</sub> = H, (cyclo)alkyl, (un)substituted aryl, heteroaryl, ferrocenyl; Z = a bond,

(un)substituted alkylene; Z1 = a bond, O, S, CONH, alkylimino or Z1R2 = 1,4-benzodioxanyl or CHR1ZZ1R2 = cycloalkyl, tetrahydronaphthyl; Z2 = H2, O, dialkyl], useful as antiallergic and antiinflammatory agents for prophylaxis and treatment of heart and brain diseases caused by ischemia, were prepared. Thus, a mixture of L-threo-3-(3,4-dihydroxyphenyl)-N-(benzyloxycarbonyl)serine pyrrolidinamide and PhCH<sub>2</sub>CH<sub>2</sub>COMe in MeOH containing NaBH<sub>3</sub>CN and mol. sieve 3A was allowed to react in an ice bath for 1 h and then at room temperature for 2 days to give, after hydrogenolysis over 5% Pd/C and treatment with aqueous HCl solution, I (R = 1-pyrrolidinyl, CHR1ZZ1R2 = CHMeCH<sub>2</sub>CH<sub>2</sub>Ph, Z2 = O). I were inhibitors of leukotriene biosynthesis and antagonists of SRS-A (slow reacting substance of anaphylaxis).

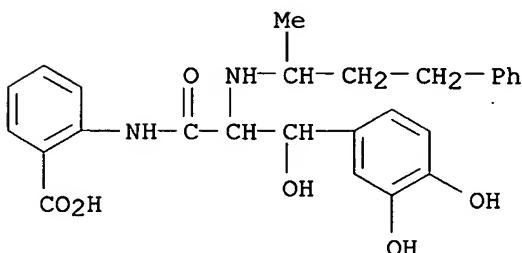
IT 108467-30-7P 108467-31-8P 108467-45-4P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of, as antiallergic, antiasthmatic, and antiinflammatory agent)

RN 108467-30-7 CAPLUS

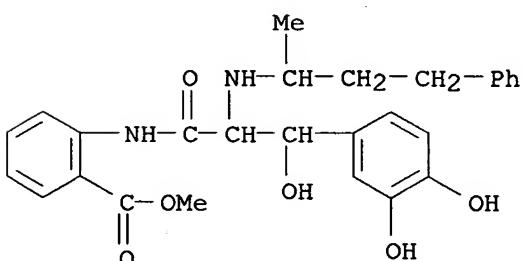
CN Benzoic acid, 2-[(3-(3,4-dihydroxyphenyl)-3-hydroxy-2-[(1-methyl-3-phenylpropyl)amino]-1-oxopropyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 108467-31-8 CAPLUS

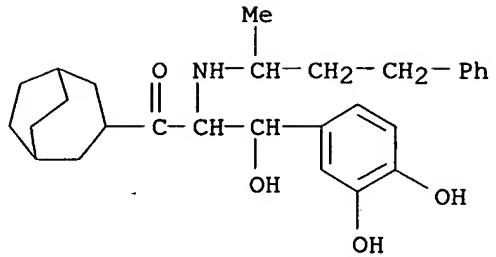
CN Benzoic acid, 2-[(3-(3,4-dihydroxyphenyl)-3-hydroxy-2-[(1-methyl-3-phenylpropyl)amino]-1-oxopropyl]amino]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 108467-45-4 CAPLUS

CN 1-Propanone, 1-bicyclo[3.2.2]non-3-yl-3-(3,4-dihydroxyphenyl)-3-hydroxy-2-[(1-methyl-3-phenylpropyl)amino]-, hydrochloride (9CI) (CA INDEX NAME)

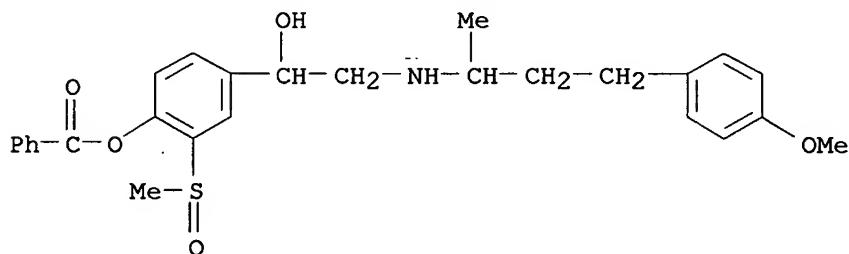


● HCl

L5 ANSWER 19 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1983:438192 CAPLUS  
 DN 99:38192  
 TI  $\alpha$ -[(Arylalkyl)amino]alkyl-4-hydroxy-3-(lower  
alkylsulfinyl)benzenemethanols  
 IN Philion, Richard E.  
 PA Sterling Drug Inc., USA  
 SO U.S., 32 pp. Cont.-in-part of U.S. Ser. No. 803,372, abandoned.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4374149	A	19830215	US 1978-937928	19780830 <--
	IL 52353	A1	19810731	IL 1977-52353	19770620 <--
	BE 856055	A1	19771223	BE 1977-8219	19770623 <--
	FI 7701976	A	19771226	FI 1977-1976	19770623 <--
	SE 7707341	A	19780213	SE 1977-7341	19770623 <--
	ES 460040	A1	19780501	ES 1977-460040	19770623 <--
	ZA 7703762	A	19780530	ZA 1977-3762	19770623 <--
	AU 7726368	A1	19790104	AU 1977-26368	19770623 <--
	AU 512626	B2	19801023		
	DK 7702817	A	19771226	DK 1977-2817	19770624 <--
	DK 146386	B	19830926		
	DK 146386	C	19840312		
	NO 7702245	A	19771228	NO 1977-2245	19770624 <--
	NO 144848	B	19810817		
	NO 144848	C	19811125		
	FR 2366272	A1	19780428	FR 1977-19408	19770624 <--
	FR 2366272	B1	19810306		
	AT 7704493	A	19790615	AT 1977-4493	19770624 <--
	AT 354420	B	19790110		
	CA 1091245	A1	19801209	CA 1977-281375	19770624 <--
	CH 627447	A	19820115	CH 1977-7791	19770624 <--
	JP 53021134	A2	19780227	JP 1977-76034	19770625 <--
	NL 7707128	A	19771228	NL 1977-7128	19770627 <--
	AT 7806347	A	19800115	AT 1978-6347	19780901 <--
	AT 358009	B	19800811		
	AT 7806348	A	19800215	AT 1978-6348	19780901 <--
	AT 358558	B	19800925		
	CA 1091246	A2	19801209	CA 1980-347766	19800317 <--
	CA 1092142	A2	19801223	CA 1980-347767	19800317 <--
	DK 8003937	A	19800917	DK 1980-3937	19800917 <--
	DK 8003938	A	19800917	DK 1980-3938	19800917 <--
	CH 630068	A	19820528	CH 1981-445	19810122 <--

JP 57163358	A2	19821007	JP 1982-22953	19820217 <--
JP 57167957	A2	19821016	JP 1982-22952	19820217 <--
US 4452816	A	19840605	US 1982-402793	19820728 <--
US 4751246	A	19880614	US 1982-402732	19820728 <--
DK 8300764	A	19830222	DK 1983-764	19830222 <--
FI 8300796	A	19830309	FI 1983-796	19830309 <--
FI 8300797	A	19830309	FI 1983-797	19830309 <--
PRAI US 1976-699856	A2	19760625		
US 1977-803372	A2	19770603		
FI 1977-1976	A	19770623		
AT 1977-4493	A	19770624		
CA 1977-281375	A3	19770624		
CH 1977-7791	A	19770624		
DK 1977-2817	A	19770624		
US 1978-937928	A3	19780830		
OS CASREACT 99:38192				
AB 4,3-RO(R1SO)C6H3CH(OH)CHR2NHCR3R4(CH2)nR5 [R = H, alkyl, alkanoyl, aroyl, PhSO2, MeC6H4SO2; R1 = alkyl; R2, R3, R4 = H, alkyl; R5 = (un)substituted Ph; n = 1-3] were prepared. Thus R6NH2 (R6 = 4-MeOC6H4CH2CH2CHMe) was treated with 4,3-Aco(MeS)C6H3COCH2Br to give 4,3-Ac(MeS)C6H3COCH2NHR6, which was reduced with NaBH4 to yield 4,3-HO(MeS)C6H3CH(OH)CH2NHR6 (I). Oxidation of I with MeC(O)OOH formed 4,3-HO(MeSO)C6H3CH(OH)CH2NHR6 (II). II reduced blood pressure in rats by 40 mm average at 3.0 mg/kg orally. II also showed vasodilator, β-sympatholytic, antiarrhythmic, and cardiotonic activity.				
IT 66265-02-9P				
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)				
(preparation and pharmacol. activity of)				
RN 66265-02-9 CAPLUS				
CN Benzenemethanol, 4-(benzoyloxy)-α-[[[3-(4-methoxyphenyl)-1-methylpropyl]amino)methyl]-3-(methylsulfinyl)- (9CI) (CA INDEX NAME)				



IT 66290-13-9P 71897-15-9P 71897-17-1P			
86244-77-1P 86244-78-2P			
RL: SPN (Synthetic preparation); PREP (Preparation)			
(preparation of)			
RN 66290-13-9 CAPLUS			
CN Benzeneacetic acid, α-hydroxy-, (S)-, compd. with 4-(benzoyloxy)-α-[[[3-(4-methoxyphenyl)-1-methylpropyl]amino)methyl]-3-(methylthio)benzenemethanol (1:1) (9CI) (CA INDEX NAME)			

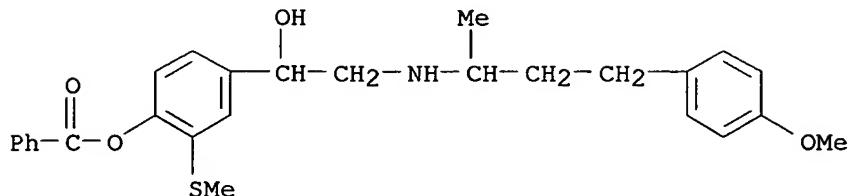
CM 1

CRN 66264-99-1  
CMF C27 H31 N O4 S

3-(methylthio)benzenemethanol (1:1) (9CI) (CA INDEX NAME)

CM 1

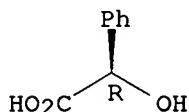
CRN 66264-99-1  
CMF C27 H31 N O4 S



CM 2

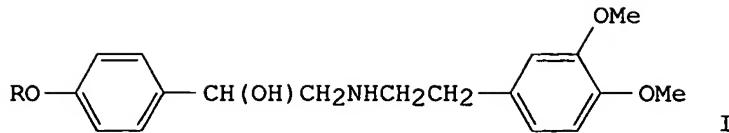
CRN 611-71-2  
CMF C8 H8 O3

Absolute stereochemistry. Rotation (-).



L5 ANSWER 20 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 1982:103830 CAPLUS  
DN 96:103830  
TI Benzylic alcohol derivative and its application in pharmaceutical compositions  
IN Umino, Norihide; Ohishi, Tokuro; Ikezaki, Muneyoshi; Sato, Masanori;  
Nagao, Taku  
PA Tanabe Seiyaku Co., Ltd. , Japan  
SO Fr. Demande, 23 pp.  
CODEN: FRXXBL  
DT Patent  
LA French  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2479194	A1	19811002	FR 1981-5828	19810324 <--
	FR 2479194	B1	19841026		
	JP 56138150	A2	19811028	JP 1980-41091	19800328 <--
	JP 60043061	B4	19850926		
	US 4324800	A	19820413	US 1981-243246	19810312 <--
	DE 3110376	A1	19820401	DE 1981-3110376	19810317 <--
	DE 3110376	C2	19901031		
	GB 2073190	A	19811014	GB 1981-9907	19810330 <--
	GB 2073190	B2	19830727		
PRAI	JP 1980-41091	A	19800328		
OS	CASREACT 96:103830				
GI					



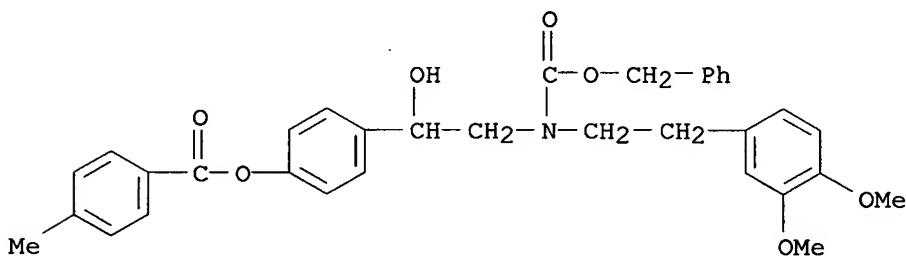
AB 4-Acyloxybenzyl alcs. I (R = alkanoyl, PhCO, alkylbenzoyl), which produced heart contraction, were prepared from a N-protected 4-hydroxybenzyl alc. Thus, 3,4-(MeO)2C6H3CH2CH2N(CO2CH2Ph)CH2CH(OH)C6H4OH-4 was treated with pyridine and Me3CCOCl, and the product was deprotected to give I (R = Me3CCO).

IT 80917-81-3P 80927-29-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and deprotection of)

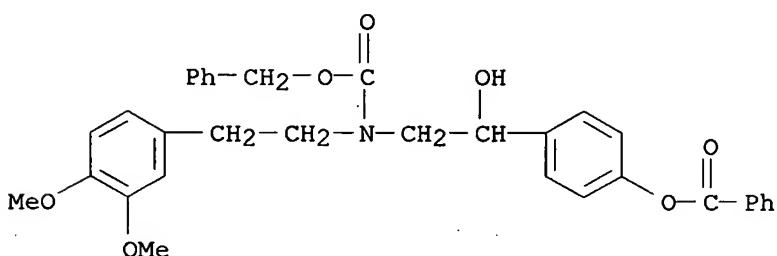
RN 80917-81-3 CAPLUS

CN Benzoic acid, 4-methyl-, 4-[2-[(2-(3,4-dimethoxyphenyl)ethyl]amino]-1-hydroxyethyl]phenyl ester (9CI) (CA INDEX NAME)



RN 80927-29-3 CAPLUS

CN Carbamic acid, [2-[4-(benzyloxy)phenyl]-2-hydroxyethyl][2-(3,4-dimethoxyphenyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

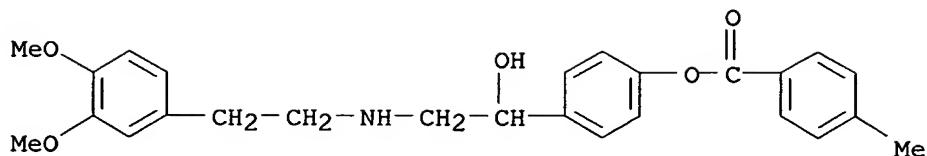


IT 80917-63-1P 80917-64-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and heart contraction activity of)

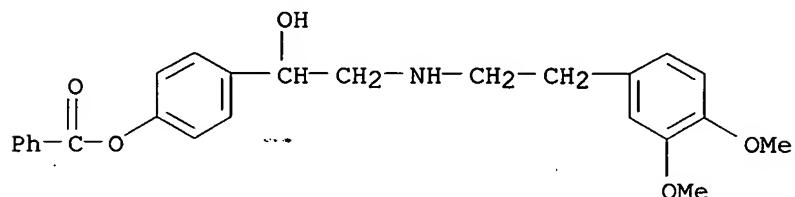
RN 80917-63-1 CAPLUS

CN Benzoic acid, 4-methyl-, 4-[2-[(2-(3,4-dimethoxyphenyl)ethyl]amino]-1-hydroxyethyl]phenyl ester (9CI) (CA INDEX NAME)



RN 80917-64-2 CAPLUS

CN Benzenemethanol, 4-(benzoyloxy)- $\alpha$ -[[[2-(3,4-dimethoxyphenyl)ethyl]amino]methyl]- (9CI) (CA INDEX NAME)

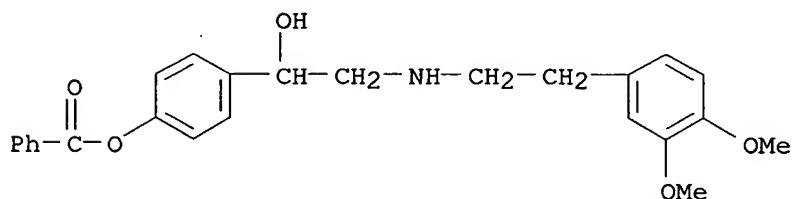


IT 80917-79-9P 80917-82-4P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 80917-79-9 CAPLUS

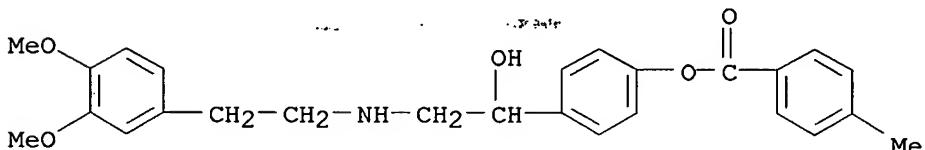
CN Benzenemethanol, 4-(benzoyloxy)- $\alpha$ -[[[2-(3,4-dimethoxyphenyl)ethyl]amino]methyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 80917-82-4 CAPLUS

CN Benzoic acid, 4-methyl-, 4-[2-[[2-(3,4-dimethoxyphenyl)ethyl]amino]-1-hydroxyethyl]phenyl ester, hydrochloride (9CI) (CA INDEX NAME)



● HCl

AN 1980:163686 CAPLUS  
 DN 92:163686  
 TI 4-Hydroxyphenylalkanolamine derivatives and preparation thereof  
 PA Sterling Drug Inc., USA  
 SO Brit., 51 pp.  
 CODEN: BRXXAA  
 DT Patent  
 LA English  
 FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 1544872	A	19790425	GB 1977-25280	19770616 <--
	IL 52353	A1	19810731	IL 1977-52353	19770620 <--
	BE 856055	A1	19771223	BE 1977-8219	19770623 <--
	FI 7701976	A	19771226	FI 1977-1976	19770623 <--
	SE 7707341	A	19780213	SE 1977-7341	19770623 <--
	ES 460040	A1	19780501	ES 1977-460040	19770623 <--
	ZA 7703762	A	19780530	ZA 1977-3762	19770623 <--
	AU 7726368	A1	19790104	AU 1977-26368	19770623 <--
	AU 512626	B2	19801023		
	DK 7702817	A	19771226	DK 1977-2817	19770624 <--
	DK 146386	B	19830926		
	DK 146386	C	19840312		
	NO 7702245	A	19771228	NO 1977-2245	19770624 <--
	NO 144848	B	19810817		
	NO 144848	C	19811125		
	FR 2366272	A1	19780428	FR 1977-19408	19770624 <--
	FR 2366272	B1	19810306		
	AT 7704493	A	19790615	AT 1977-4493	19770624 <--
	AT 354420	B	19790110		
	CA 1091245	A1	19801209	CA 1977-281375	19770624 <--
	CH 627447	A	19820115	CH 1977-7791	19770624 <--
	JP 53021134	A2	19780227	JP 1977-76034	19770625 <--
	NL 7707128	A	19771228	NL 1977-7128	19770627 <--
	AT 7806347	A	19800115	AT 1978-6347	19780901 <--
	AT 358009	B	19800811		
	AT 7806348	A	19800215	AT 1978-6348	19780901 <--
	AT 358558	B	19800925		
	CA 1091246	A2	19801209	CA 1980-347766	19800317 <--
	CA 1092142	A2	19801223	CA 1980-347767	19800317 <--
	DK 8003937	A	19800917	DK 1980-3937	19800917 <--
	DK 8003938	A	19800917	DK 1980-3938	19800917 <--
	CH 630068	A	19820528	CH 1981-445	19810122 <--
	JP 57163358	A2	19821007	JP 1982-22953	19820217 <--
	JP 57167957	A2	19821016	JP 1982-22952	19820217 <--
	DK 8300764	A	19830222	DK 1983-764	19830222 <--
	FI 8300796	A	19830309	FI 1983-796	19830309 <--
	FI 8300797	A	19830309	FI 1983-797	19830309 <--
PRAI	US 1976-699856	A	19760625		
	US 1977-803372	A	19770603		
	FI 1977-1976	A	19770623		
	AT 1977-4493	A	19770624		
	CA 1977-281375	A3	19770624		
	CH 1977-7791	A	19770624		
	DK 1977-2817	A	19770624		

AB The preparation is described of 3,4-R(R<sub>1</sub>O)C<sub>6</sub>H<sub>3</sub>CH(OH)CHR<sub>2</sub>NHCR<sub>3</sub>R<sub>4</sub>(CH<sub>2</sub>)<sub>n</sub>R<sub>5</sub> (I; R = alkylthio, alkylsulfinyl, alkylsulfonyl; R<sub>1</sub> = H, alkanoyl, aroyl, PhSO<sub>2</sub>, 4-MeC<sub>6</sub>H<sub>4</sub>SO<sub>2</sub>; R<sub>2</sub>, R<sub>3</sub>, and R<sub>4</sub> are independently H or alkyl; n = 1, 2, 3; R<sub>5</sub> = Ph or halo-, alkyl-, hydroxy-, alkoxyphenyl). I showed hypotensive, vasodilator, and β-adrenergic blocking activity; some I also exhibited antiarrhythmic activity. Thus, I (R = MeSO, R<sub>1</sub> = R<sub>2</sub> = R<sub>4</sub> = H, R<sub>3</sub> = Me, n = 2, R<sub>5</sub> = 4-MeOC<sub>6</sub>H<sub>4</sub>) acetate was prepared from

4,3-HO(MeS)C6H3COMe by sequential O-benzoylation, photochem. bromination, treatment with 4-MeOC6H4(CH2)2CHMeNH2, NaBH4 reduction, treatment with AcOH, and S-oxidation. The pharmacol. activities of I were assessed in animals.

IT 66265-03-0P 71897-15-9P 71897-17-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(antihypertensive, preparation of)

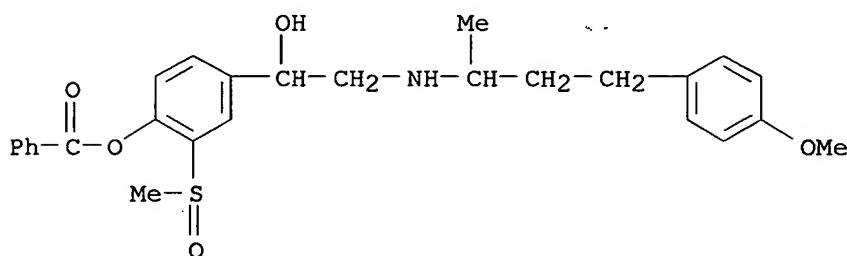
RN 66265-03-0 CAPLUS

CN Benzenemethanol, 4-(benzoyloxy)- $\alpha$ -[[[3-(4-methoxyphenyl)-1-methylpropyl]amino)methyl]-3-(methylsulfinyl)-, sulfate (2:1) (salt) (9CI)  
(CA INDEX NAME)

CM 1

CRN 66265-02-9

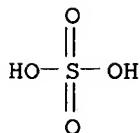
CMF C27 H31 N O5 S



CM 2

CRN 7664-93-9

CMF H2 O4 S



RN 71897-15-9 CAPLUS

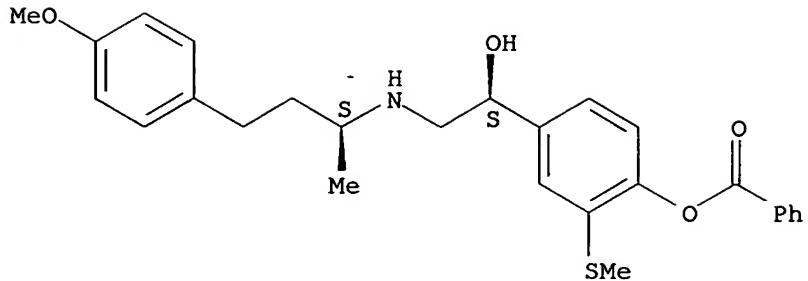
CN Benzenemethanol, 4-(benzoyloxy)- $\alpha$ -[[[3-(4-methoxyphenyl)-1-methylpropyl]amino)methyl]-3-(methylthio)-, (R\*,R\*)-, acetate (salt) (9CI)  
(CA INDEX NAME)

CM 1

CRN 71897-14-8

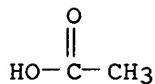
CMF C27 H31 N O4 S

Relative stereochemistry.



CM 2

CRN 64-19-7  
CMF C2 H4 O2

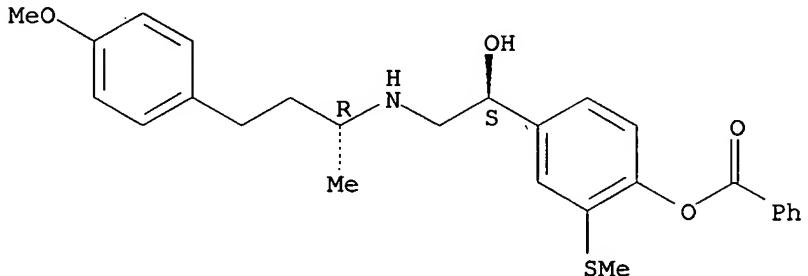


RN 71897-17-1 CAPLUS  
CN Benzenemethanol, 4-(benzoyloxy)- $\alpha$ -[[[3-(4-methoxyphenyl)-1-methylpropyl]amino]methyl]-3-(methylthio)-, (R\*,S\*)-, acetate (salt) (9CI) (CA INDEX NAME)

CM 1

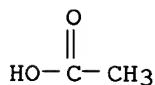
CRN 71897-16-0  
CMF C27 H31 N O4 S

Relative stereochemistry.



CM 2

CRN 64-19-7  
CMF C2 H4 O2



IT 66290-13-9P 66290-14-0P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

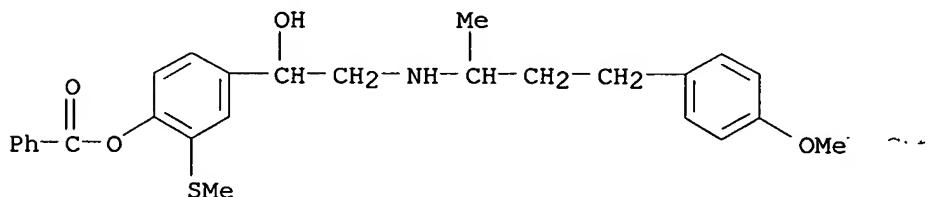
(Reactant or reagent)  
(preparation and hydrolysis of)

RN 66290-13-9 CAPLUS

CN Benzeneacetic acid,  $\alpha$ -hydroxy-, (S)-, compd. with  
4-(benzoyloxy)- $\alpha$ -[[[3-(4-methoxyphenyl)-1-methylpropyl]amino]methyl]-  
3-(methylthio)benzenemethanol (1:1) (9CI) (CA INDEX NAME)

CM 1

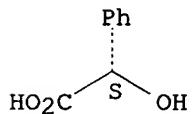
CRN 66264-99-1  
CMF C27 H31 N O4 S



CM 2

CRN 17199-29-0  
CMF C8 H8 O3

Absolute stereochemistry. Rotation (+).

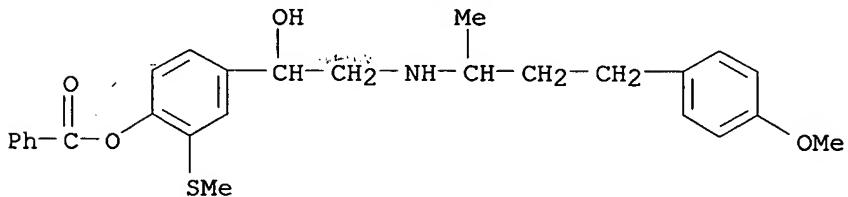


RN 66290-14-0 CAPLUS

CN Benzeneacetic acid,  $\alpha$ -hydroxy-, (R)-, compd. with  
4-(benzoyloxy)- $\alpha$ -[[[3-(4-methoxyphenyl)-1-methylpropyl]amino]methyl]-  
3-(methylthio)benzenemethanol (1:1) (9CI) (CA INDEX NAME)

CM 1

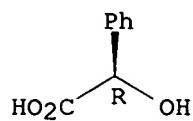
CRN 66264-99-1  
CMF C27 H31 N O4 S



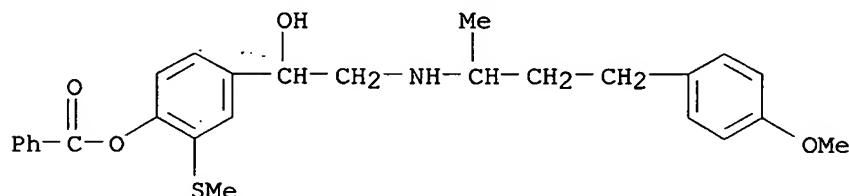
CM 2

CRN 611-71-2  
CMF C8 H8 O3

Absolute stereochemistry. Rotation (-).



IT 66264-99-1P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 66264-99-1 CAPLUS  
 CN Benzenemethanol, 4-(benzoyloxy)- $\alpha$ -[[[3-(4-methoxyphenyl)-1-methylpropyl]amino]methyl]-3-(methylthio)- (9CI) (CA INDEX NAME)



L5 ANSWER 22 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1980:76103 CAPLUS  
 DN 92:76103  
 TI Bronchospasmolytically-active compounds, pharmaceutical preparations and their medicinal use  
 IN Olsson, Otto Agne Torsten; Persson, Nils Henry Alfons; Svensson, Leif Ake;  
 Waldeck, Carl Bertil; Wetterlin, Kjell Ingvar Leopold  
 PA Draco AB, Swed.  
 SO Eur. Pat. Appl., 63 pp.  
 CODEN: EPXXDW  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 4835	A1	19791017	EP 1979-850021	19790403 <--
	EP 4835	B1	19811014		
	R: BE, CH, DE, FR, GB, IT, LU, NL, SE				
	ZA 7901403	A	19800528	ZA 1979-1403	19790323 <--
	CA 1150296	A1	19830719	CA 1979-324248	19790327 <--
	AU 7945688	A1	19801009	AU 1979-45688	19790402 <--
	AU 520787	B2	19820225		
	FI 7901118	A	19791011	FI 1979-1118	19790404 <--
	FI 70405	B	19860327		
	FI 70405	C	19860912		
	DK 7901467	A	19791011	DK 1979-1467	19790409 <--
	NO 7901199	A	19791011	NO 1979-1199	19790409 <--
	NO 147103	B	19821025		
	NO 147103	C	19830202		
	BR 7902193	A	19791204	BR 1979-2193	19790409 <--
	ES 479440	A1	19800616	ES 1979-479440	19790409 <--
	HU 20553	O	19810828	HU 1979-DA363	19790409 <--
	HU 178207	P	19820328		
	SU 961557	A3	19820923	SU 1979-2746153	19790409 <--
	CS 228114	P	19840514	CS 1979-2407	19790409 <--
	JP 54145624	A2	19791114	JP 1979-43377	19790410 <--

JP 63008936 B4 19880225 DD 1979-212113 19790410 <--  
 DD 142875 C 19800716 AT 1979-2655 19790410 <--  
 AT 7902655 A 19811015 ES 1979-486580 19791204 <--  
 AT 367022 B 19820525 CS 1980-1932 19800320 <--  
 ES 486580 A1 19801001 AT 1981-1561 19810403 <--  
 CS 228126 P 19840514 SU 1981-3308200 19810702 <--  
 AT 8101561 A 19820115  
 AT 368126 B 19820910  
 SU 1011046 A3 19830407  
 PRAI GB 1978-14033 19780410  
 AT 1979-2655 A 19790410  
 AB 4-RO<sub>n</sub>C<sub>6</sub>H<sub>4</sub>CH(OH)CH<sub>2</sub>NHCMe<sub>2</sub>(CH<sub>2</sub>)<sub>n</sub>C<sub>6</sub>H<sub>4</sub>R<sub>1</sub>-2 (I; R = H, aliphatic acyl, Bz, and MeC<sub>6</sub>H<sub>4</sub>CO; R<sub>1</sub> = H, alkyl, aliphatic acyl, PhCH<sub>2</sub>, Bz and MeC<sub>6</sub>H<sub>4</sub>CO; n : 1,2 or 3) and their pharmaceutically-acceptable salts, which showed bronchodilating,  $\alpha$ -spasmolytic and uterus-relaxing activity in tests on animals, were prepared. Thus, the addition of p-(benzyloxy)styrene oxide to 2-MeOC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>CH<sub>2</sub>CMe<sub>2</sub>NH<sub>2</sub> followed by neutralization and debenzylation gave I.HCl (R = H, R<sub>1</sub> = Me, n = 2).

IT 72734-67-9P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation and pharmacol. activity of)

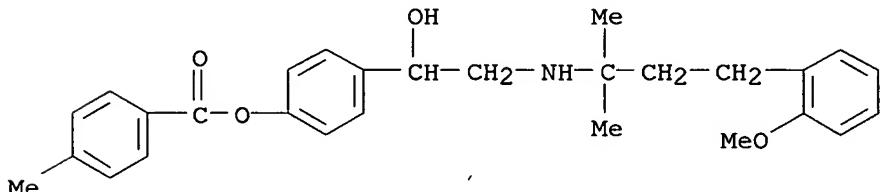
RN 72734-67-9 CAPLUS

CN Benzoic acid, 4-methyl-, 4-[1-hydroxy-2-[[3-(2-methoxyphenyl)-1,1-dimethylpropyl]amino]ethyl]phenyl ester, sulfate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 72734-66-8

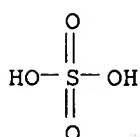
CMF C<sub>28</sub> H<sub>33</sub> N O<sub>4</sub>



CM 2

CRN 7664-93-9

CMF H<sub>2</sub> O<sub>4</sub> S



L5 ANSWER 23 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1979:137468 CAPLUS

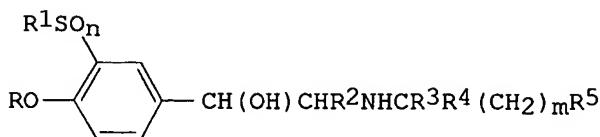
DN 90:137468

TI 4-Hydroxyphenylalkanolamine derivatives

IN Philion, Richard Everett  
 PA Sterling Drug Inc., USA  
 SO Ger. Offen., 123 pp.  
 CODEN: GWXXBX  
 DT Patent  
 LA German  
 FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2728641	A1	19780105	DE 1977-2728641	19770624 <--
	IL 52353	A1	19810731	IL 1977-52353	19770620 <--
	BE 856055	A1	19771223	BE 1977-8219	19770623 <--
	FI 7701976	A	19771226	FI 1977-1976	19770623 <--
	SE 7707341	A	19780213	SE 1977-7341	19770623 <--
	ES 460040	A1	19780501	ES 1977-460040	19770623 <--
	ZA 7703762	A	19780530	ZA 1977-3762	19770623 <--
	AU 7726368	A1	19790104	AU 1977-26368	19770623 <--
	AU 512626	B2	19801023		
	DK 7702817	A	19771226	DK 1977-2817	19770624 <--
	DK 146386	B	19830926		
	DK 146386	C	19840312		
	NO 7702245	A	19771228	NO 1977-2245	19770624 <--
	NO 144848	B	19810817		
	NO 144848	C	19811125		
	FR 2366272	A1	19780428	FR 1977-19408	19770624 <--
	FR 2366272	B1	19810306		
	AT 7704493	A	19790615	AT 1977-4493	19770624 <--
	AT 354420	B	19790110		
	CA 1091245	A1	19801209	CA 1977-281375	19770624 <--
	CH 627447	A	19820115	CH 1977-7791	19770624 <--
	JP 53021134	A2	19780227	JP 1977-76034	19770625 <--
	NL 7707128	A	19771228	NL 1977-7128	19770627 <--
	AT 7806347	A	19800115	AT 1978-6347	19780901 <--
	AT 358009	B	19800811		
	AT 7806348	A	19800215	AT 1978-6348	19780901 <--
	AT 358558	B	19800925		
	CA 1091246	A2	19801209	CA 1980-347766	19800317 <--
	CA 1092142	A2	19801223	CA 1980-347767	19800317 <--
	DK 8003937	A	19800917	DK 1980-3937	19800917 <--
	DK 8003938	A	19800917	DK 1980-3938	19800917 <--
	CH 630068	A	19820528	CH 1981-445	19810122 <--
	JP 57163358	A2	19821007	JP 1982-22953	19820217 <--
	JP 57167957	A2	19821016	JP 1982-22952	19820217 <--
	DK 8300764	A	19830222	DK 1983-764	19830222 <--
	FI 8300796	A	19830309	FI 1983-796	19830309 <--
	FI 8300797	A	19830309	FI 1983-797	19830309 <--
PRAI	US 1976-699856	A	19760625		
	US 1977-803372	A	19770603		
	FI 1977-1976	A	19770623		
	AT 1977-4493	A	19770624		
	CA 1977-281375	A3	19770624		
	CH 1977-7791	A	19770624		
	DK 1977-2817	A	19770624		

GI



I

AB Title compds. I (R = H, alkanoyl, aroyl, PhSO<sub>2</sub>, 4-MeC<sub>6</sub>H<sub>4</sub>SO<sub>2</sub>; R<sub>1</sub> = alkyl; R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub> independently = H or alkyl; R<sub>5</sub> = Ph or Ph substituted with 1-3 halo, alkyl, alkoxy, and/or OH; m = 1-23; n = 0-2), which had antiarrhythmic, antihypertensive, vasodilating, and adrenergic activity, were prepared as the free bases or acid addition salts, usually by reduction of the

corresponding acetophenone derivative. Thus, 4-HOC<sub>6</sub>H<sub>4</sub>COMe was treated with HSO<sub>3</sub>Cl, then with SnCl<sub>2</sub>, the resultant 4,3-(HO)(HS)C<sub>6</sub>H<sub>3</sub>COMe was S-methylated, O-acetylated,  $\alpha$ -brominated, aminated with 4-MeOC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>CHMeNH<sub>2</sub>, and reduced by NaBH<sub>4</sub> to give I (R = Ac, R<sub>1</sub> = R<sub>3</sub> = Me, R<sub>2</sub> = R<sub>4</sub> = H, R<sub>5</sub> = 4-MeOC<sub>6</sub>H<sub>4</sub> m = 1, n = 0), which lowered the blood pressure of rats 40 mm, at 10.0 mg/kg p.o. and had ED<sub>350</sub> 0.5 and <1.0 mg/kg, resp., for vasodilating and adrenergic activity in dogs.

IT 66265-00-7P 66265-03-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and biol. activity of)

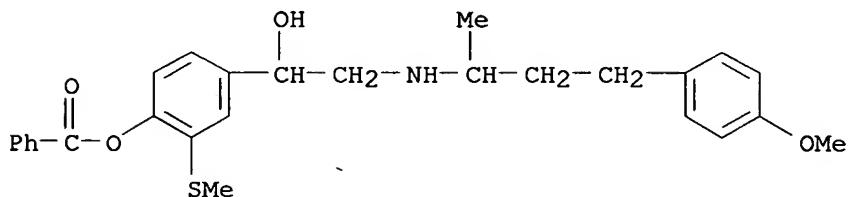
RN 66265-00-7 CAPLUS

CN Benzenemethanol, 4-(benzoyloxy)- $\alpha$ -[[[3-(4-methoxyphenyl)-1-methylpropyl]amino)methyl]-3-(methylthio)-, acetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 66264-99-1

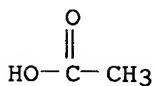
CMF C<sub>27</sub> H<sub>31</sub> N O<sub>4</sub> S



CM 2

CRN 64-19-7

CMF C<sub>2</sub> H<sub>4</sub> O<sub>2</sub>



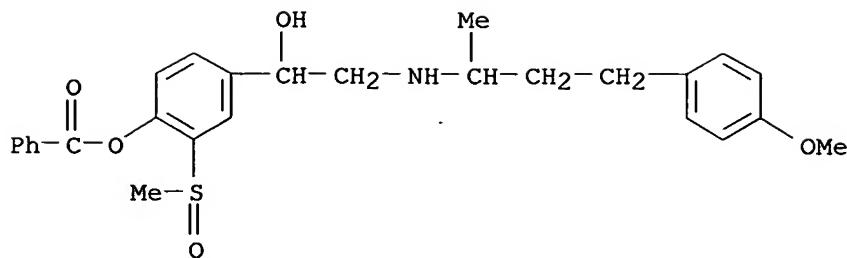
RN 66265-03-0 CAPLUS

CN Benzenemethanol, 4-(benzoyloxy)- $\alpha$ -[[[3-(4-methoxyphenyl)-1-methylpropyl]amino)methyl]-3-(methylsulfinyl)-, sulfate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

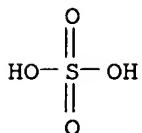
CRN 66265-02-9

CMF C<sub>27</sub> H<sub>31</sub> N O<sub>5</sub> S



CM 2

CRN 7664-93-9  
CMF H<sub>2</sub> O<sub>4</sub> S



IT 66290-13-9P 66290-14-0P

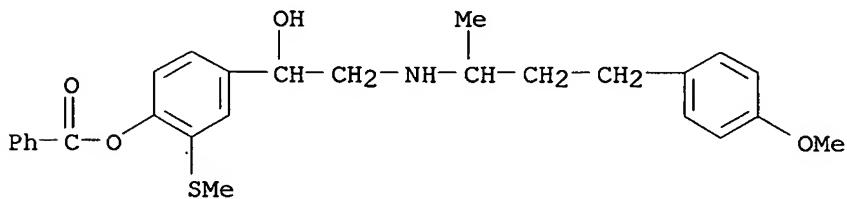
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 66290-13-9 CAPLUS

CN Benzeneacetic acid,  $\alpha$ -hydroxy-, (S)-, compd. with  
4-(benzoyloxy)- $\alpha$ -[[[3-(4-methoxyphenyl)-1-methylpropyl]amino]methyl]-  
3-(methylthio)benzenemethanol (1:1) (9CI) (CA INDEX NAME)

CM 1

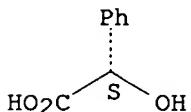
CRN 66264-99-1  
CMF C<sub>27</sub> H<sub>31</sub> N O<sub>4</sub> S



CM 2

CRN 17199-29-0  
CMF C<sub>8</sub> H<sub>8</sub> O<sub>3</sub>

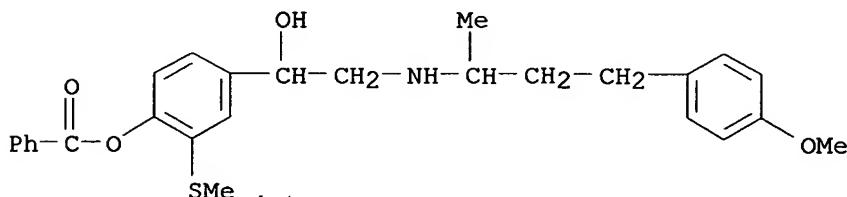
Absolute stereochemistry. Rotation (+).



RN 66290-14-0 CAPLUS  
CN Benzeneacetic acid,  $\alpha$ -hydroxy-, (R)-, compd. with  
4-(benzoyloxy)- $\alpha$ -[[[3-(4-methoxyphenyl)-1-methylpropyl]amino)methyl]-  
3-(methylthio)benzenemethanol (1:1) (9CI) (CA INDEX NAME)

CM 1

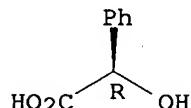
CRN 66264-99-1  
CMF C27 H31 N O4 S



CM 2

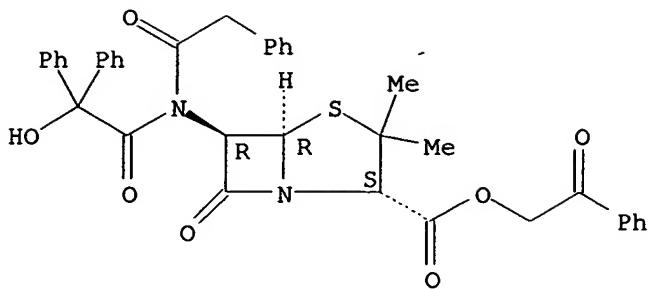
CRN 611-71-2  
CMF C8 H8 O3

Absolute stereochemistry. Rotation (-).



L5 ANSWER 24 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 1974:477830 CAPLUS  
DN 81:77830  
TI Influence of some factors on the course of the preparation of semisynthetic penicillins directly from Penicillin G  
AU Busko-Oszczapowicz, Irena; Kazimierczak, Jerzy; Cieslak, Jerzy  
CS Inst. Pharm. Ind., Warsaw, Pol.  
SO Roczniki Chemii (1974), 48(2), 253-61  
CODEN: ROCHAC; ISSN: 0035-7677  
DT Journal  
LA English  
GI For diagram(s), see printed CA Issue.  
AB The penicillins I (R = 9-methoxy-9-fluorenyl, 9-hydroxy-9-fluorenyl, Ph2COMe,  $\beta$ -ethoxy- $\alpha$ -naphthyl; R1 = p-BrC6H4COCH2, PhCOCH2, p-O2NC6H4CH2) were prepared by treating benzylpenicillin esters with PCl5 and RCO2Na. Deacylation and hydrolysis of I gave the penicillins II.  
IT 38016-13-6P 53388-48-0P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and deacylation of)  
RN 38016-13-6 CAPLUS  
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[ (hydroxydiphenylacetyl) (phenylacetyl) amino]-3,3-dimethyl-7-oxo-, 2-oxo-2-phenylethyl ester, [2S-(2 $\alpha$ ,5 $\alpha$ ,6 $\beta$ )]- (9CI) (CA INDEX NAME)

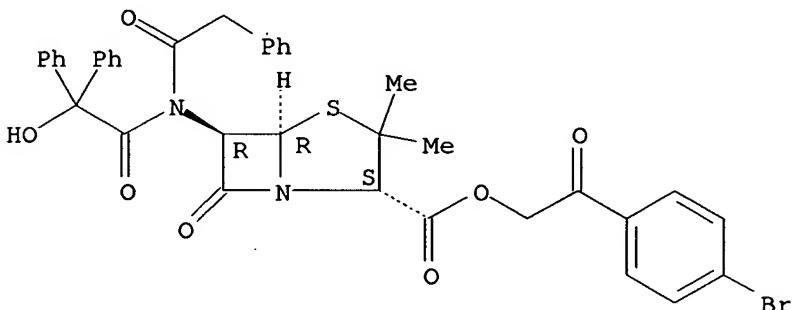
Absolute stereochemistry.



RN 53388-48-0 CAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[(hydroxydiphenylacetyl)(phenylacetyl)amino]-3,3-dimethyl-7-oxo-, 2-(4-bromophenyl)-2-oxoethyl ester, [2S-(2 $\alpha$ ,5 $\alpha$ ,6 $\beta$ )]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 25 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1973:405128 CAPLUS

DN 79:5128

TI Carbocyclic compounds

IN Bastian, Jean Michel; Hasspacher, Klaus

PA Sandoz Ltd.

SO Ger. Offen., 32 pp.

CODEN: GWXXBX

DT Patent

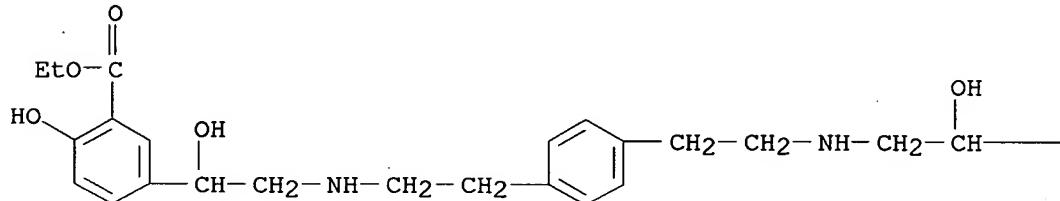
LA German

FAN.CNT 1

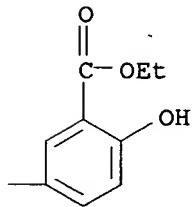
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2236272	A1	19730208	DE 1972-2236272	19720724 <--
	CH 545764	A	19740215	CH 1971-11044	19710727 <--
	CH 545765	A	19740215	CH 1971-11247	19710730 <--
	CH 548976	A	19740515	CH 1971-11249	19710730 <--
	CH 556814	A	19741213	CH 1972-1602	19720203 <--
	CH 562194	A	19750530	CH 1972-1605	19720203 <--
	NL 7210099	A	19730130	NL 1972-10099	19720721 <--
	BE 786713	A1	19730125	BE 1972-120241	19720725 <--
	DD 99567	C	19730820	DD 1972-164661	19720725 <--
	HU 167045	P	19750728	HU 1972-SA2378	19720725 <--
	GB 1403752	A	19750820	GB 1972-34706	19720725 <--
	PL 84710	P	19760430	PL 1972-175466	19720725 <--
	FR 2147188	A1	19730309	FR 1972-26799	19720726 <--
	AU 7245033	A1	19740131	AU 1972-45033	19720726 <--

ZA	7205199	A	19740327	ZA	1972-5199	19720727 <--
PRAI	CH 1971-11044	A	19710727			
	CH 1971-11247	A	19710730			
	CH 1971-11249	A	19710730			
	CH 1971-12319	A	19710823			
	CH 1972-1602	A	19720203			
	CH 1972-1605	A	19720203			
	CH 1972-605	A	19720203			
GI	For diagram(s), see printed CA Issue.					
AB	Aromatic amino alcs. I [R = H, Me, Et or PhCH <sub>2</sub> ; R <sub>1</sub> = H or PhCH <sub>2</sub> ; Q = (CH <sub>2</sub> ) <sub>n</sub> (n = 0-8) or (CH <sub>2</sub> ) <sub>m</sub> C <sub>6</sub> H <sub>4</sub> (CH <sub>2</sub> ) <sub>m</sub> (m = 1 or 2)] were prepared by treating 3,4-(HOCH <sub>2</sub> )(RO)C <sub>6</sub> H <sub>3</sub> CH(OH)CH <sub>2</sub> NH <sub>2</sub> with OCH <sub>2</sub> QCHO and reducing the product. I were also obtained by reducing [3,4-R <sub>2</sub> (RO)C <sub>6</sub> H <sub>3</sub> CH(OH)CH <sub>2</sub> -NR <sub>1</sub> X]2Q [R <sub>2</sub> = CH <sub>2</sub> OH or CO <sub>2</sub> R <sub>3</sub> (R <sub>3</sub> = lower alkyl), X = CO or CH <sub>2</sub> ]. I salts were bronchodilators.					
IT	<b>41640-88-4</b>					
	RL: RCT (Reactant); RACT (Reactant or reagent) (hydrogenation of)					
RN	41640-88-4 CAPLUS					
CN	Benzoic acid, 3,3'-[1,4-phenylenebis[2,1-ethanediylimino(1-hydroxy-2,1-ethanediyl)]]bis[6-hydroxy-, diethyl ester (9CI) (CA INDEX NAME)					

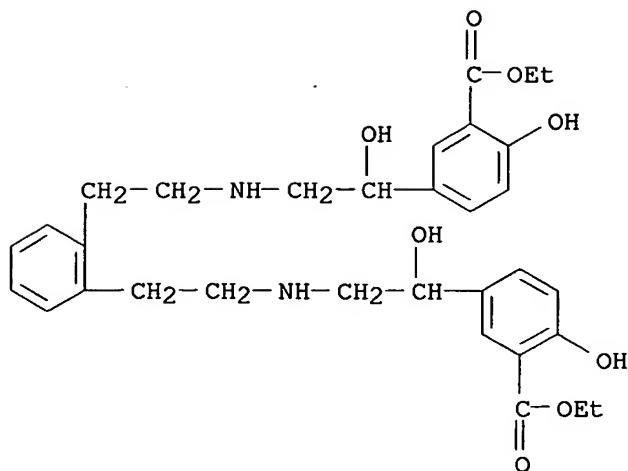
PAGE 1-A



PAGE 1-B



IT	<b>41853-07-0P</b>				
	RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)				
RN	41853-07-0 CAPLUS				
CN	Benzoic acid, 3,3'-[1,2-phenylenebis[2,1-ethanediylimino(1-hydroxy-2,1-ethanediyl)]]bis[6-hydroxy-, diethyl ester (9CI) (CA INDEX NAME)				



L5 ANSWER 26 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1972:564680 CAPLUS  
 DN 77:164680  
 TI Semisynthetic penicillins  
 IN Busko-Oszczapowicz, Irena; Cieslak, Jerzy; Kazimierczak, Jerzy  
 PA Instytut Antybiotykow  
 SO Fr., 11 pp.  
 CODEN: FRXXAK  
 DT Patent  
 LA French  
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI FR 2103105	-----	19720512	FR 1971-26510	19710720 <--
GI For diagram(s), see printed CA Issue.				
AB The penicillins (I, R = H, 9-methoxy-9-fluorenylcarbonyl, 9-hydroxy-9-fluorenylcarbonyl, Ph <sub>2</sub> (MeO)CCO, Ph <sub>2</sub> (HO)CCO, 2-ethoxy-1-naphthoyl; R1 = K, CH <sub>2</sub> COPh, CH <sub>2</sub> COC <sub>6</sub> H <sub>4</sub> Br-p, CH <sub>2</sub> C <sub>6</sub> H <sub>4</sub> NO <sub>2</sub> -p, H) were prepared from benzylpenicillin. Thus K benzylpenicillin was treated with PhCOCH <sub>2</sub> Br to give I (R = H, R1 = CH <sub>2</sub> COPh), which was treated with PC15, followed by K 9-methoxyfluorene-9-carbox-ylate, to give I (R = 9-methoxy-9-fluorenylcarbonyl, R1 = CH <sub>2</sub> -COPh) in 40.1 overall yield.				
IT 38016-13-6P	RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)			
RN 38016-13-6 CAPLUS				
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[ (hydroxydiphenylacetyl) (phenylacetyl) amino]-3,3-dimethyl-7-oxo-, 2-oxo-2-phenylethyl ester, [2S-(2 $\alpha$ ,5 $\alpha$ ,6 $\beta$ )]- (9CI) (CA INDEX NAME)				

Absolute stereochemistry.

